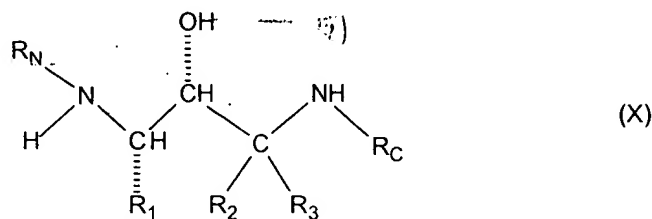


WE CLAIM:

1. A substituted amine of formula (X)

where R_1 is:

(I) $\text{C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, $\text{C}_1\text{-C}_7$ alkyl (optionally substituted with $\text{C}_1\text{-C}_3$ alkyl and $\text{C}_1\text{-C}_3$ alkoxy), $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl, and $-\text{OC}=\text{O NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-\text{CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$,(III) $-\text{CH}_2\text{-CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$,

(IV) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl,

(V) $\text{C}_2\text{-C}_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl,

(VI) $-(\text{CH}_2)_{n_1}\text{-(R}_{1\text{-aryl}})$ where n_1 is zero or one and where $\text{R}_{1\text{-aryl}}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) $\text{C}_1\text{-C}_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$, $-\text{SH}$, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy,

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

Sub
A'

COPIES OF THE REPORT

5

10

15

20

25

30

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

5

10

15

20

25

30

pyrimidinyl N-oxide,
 pyridazinyl N-oxide,
 pyrazinyl N-oxide,
 quinolinyl N-oxide,
 indolyl N-oxide,
 indolinyl N-oxide,
 isoquinolyl N-oxide,
 quinazolinyl N-oxide,
 quinoxalinyl N-oxide,
 phthalazinyl N-oxide,
 imidazolyl N-oxide,
 isoxazolyl N-oxide,
 oxazolyl N-oxide,
 thiazolyl N-oxide,
 indoliziny N-oxide,
 indazolyl N-oxide,
 benzothiazolyl N-oxide,
 benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(3) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, Cl, -Br or -I,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,
 tetrahydrofuranyl,
 tetrahydrothienyl,
 homopiperidinyl,
 homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide, and
 oxazolidinonyl,
 dihydropyrazolyl
 dihydropyrrolyl
 dihydropyrazinyl
 dihydropyridinyl
 dihydropyrimidinyl
 dihydrofuryl
 dihydropyranyl
 tetrahydrothienyl S-oxide
 tetrahydrothienyl S,S-dioxide
 homothiomorpholinyl S-oxide

where the $R_{1-\text{heterocycle}}$ group is bonded by any atom of the
 parent $R_{1-\text{heterocycle}}$ group substituted by hydrogen such that the new bond to the
 $R_{1-\text{heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is
 optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or
 three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I,
 -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as
 defined above,

(2) C_2-C_6 alkenyl with one or two double bonds,
 optionally substituted with one, two or three substituents selected from the group
 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where
 R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds,
 optionally substituted with one, two or three substituents selected from the group
 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where
 R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

or three of -F,
 (4) -F, Cl, -Br or -I,
 (5) C₁-C₆ alkoxy,
 (6) -C₁-C₆ alkoxy optionally substituted with one, two,

5 below,
 (7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined

(8) -OH,

(9) -C≡N,

10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,
 -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

above,

15 (13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

above,

(14) -SO₂-(C₁-C₄ alkyl), or

(15) =O, with the proviso that when n₁ is zero

R_{1-heterocycle} is not bonded to the carbon chain by nitrogen;

20 where R₂ is:

(I) -H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three
 substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,
 -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

25 above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and
 R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 30 -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
 H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where
 R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

5 (VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,

10 (II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and
15 R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
20 and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} is as defined below;

25 where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO₂-,

(C) -(CR'R'')₁₋₆ where R' and R'' are the same or different and
30 are -H or C₁-C₄ alkyl,

(D) -CO-(CR'R'')₁₋₆-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) $-CO-OH$,

(6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) $-C_2-C_6$ alkenyl with one or two double bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

- (8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,
 (9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$,
 (10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$,
 (11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,
 (12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined above,
 (13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,
 (14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as defined above,
 (15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,
 (16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:
 (a) C_1-C_6 alkyl,
 (b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,
 (c) C_2-C_6 alkenyl containing one or two double bonds,
 (d) C_2-C_6 alkynyl containing one or two triple bonds,
 (e) C_3-C_7 cycloalkyl, and
 (f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,
 (17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,
 (18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,
 (19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,
 (20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$.

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

5 (23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

10 (25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

15 (29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

20 (32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$,

25 (35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

Sub
A1

13615.25USU4

(B) -R_{N-heteroaryl} where R_{N-heteroaryl} is selected from the group

consisting of:

- 5 pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pyridazinyl,
10 pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
15 phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
20 thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
25 benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
30 thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,

isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoquinazyl,
benzisoquinazyl,
benzoxazinyl,
dihydrobenzothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,

Sub
Al

SECRET

(k) $-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined

above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

5 double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined

10 above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as

defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as

defined above,

15 (15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

20 the group consisting of:

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is as defined

above,

25

bonds,

(c) C_2-C_6 alkenyl containing one or two double

(d) C_2-C_6 alkynyl containing one or two triple

bonds,

(e) C_3-C_7 cycloalkyl,

30

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as

defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are

as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

Sub
A1

13615.25U

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F)$,

(35) $C_3-C_7 \text{ cycloalkyl}$,

(36) $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$

(37) $C_2-C_6 \text{ alkynyl with one or two triple bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above, or}$

(38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$, where R_{N-aryl} is defined as above,

(D) $R_{N-aryl}-W-R_{N-heteroaryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ is defined as $R_{1-heterocycle}$, is defined above,

(F) $R_{N-heteroaryl}-W-R_{N-aryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above,

(G) $R_{N-heteroaryl}-W-R_{N-heteroaryl}$, where $R_{N-heteroaryl}$ is as defined above,

(H) $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$, where $R_{N-1-heterocycle}$ is as defined as $R_{1-heterocycle}$ is as defined above, and where $R_{N-heteroaryl}$ is as defined above,

(I) $R_{N-heterocycle}-W-R_{N-aryl}$, where $R_{N-heterocycle}$ is as defined as $R_{1-heterocycle}$ is defined and where R_{N-aryl} are as defined above,

(J) $R_{N-heterocycle}-W-R_{N-heteroaryl}$, where $R_{N-heterocycle}$ is as defined as $R_{1-heterocycle}$ as defined above and $R_{N-heteroaryl}$ are as defined above, and

(K) $R_{N-heterocycle}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ and $R_{N-heteroaryl}$ are as defined above,

where W is

(1) $-(CH_2)_{0-4}-$,

(2) $-O-$,

(3) $-S(O)_{0-2}-$,

(4) $-N(R_{N-5})-$ where R_{N-5} is as defined above, or

(5) $-CO-$

(II) $-CO-(C_1-C_{10} \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) $-OH$,

(B) $-C_1-C_6$ alkoxy,

(C) $-C_1-C_6$ thioalkoxy,

(D) $-CO-O-R_{N-8}$ where R_{N-8} is $-H$, C_1-C_6 alkyl or $-phenyl$,

(E) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

5 (I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,

(K) $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

10 (M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

15 (P) $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, or $-\text{I}$,

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$, and

(R) $-\text{F}$, or $-\text{Cl}$

(III) $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

20 (A) $-\text{OH}$,

(B) $-\text{C}_1-\text{C}_6 \text{ alkoxy}$,

(C) $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$,

(D) $-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is $-\text{H}$, $\text{C}_1-\text{C}_6 \text{ alkyl}$ or $-\text{phenyl}$,

25 (E) $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

30 (I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,

(K) $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

5090-02350

509
A1

(M) -O-CO-(C₁-C₆ alkyl),

(N) -O-CO-NR_{N-8}R_{N-8} where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,

5 (P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl),

(R) -F, -Cl,

(IV) -CO-(C₁-C₆ alkyl)-S-(C₁-C₆ alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C₁-C₆ alkoxy,

(C) -C₁-C₆ thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

15 (E) -CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO₂-(C₁-C₈ alkyl),

(H) -SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C₁-C₆ alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different

and are as defined above,

25 (L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C₁-C₆ alkyl),

(N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,

30 (P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl),

(R) -F, or -Cl,

(V) $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-aryl}}$

and $\text{R}_{\text{N-heteroaryl}}$ are as defined above, where $\text{R}_{\text{N}-10}$ is selected from the group consisting of:

- (A) $-\text{H}$,
- (B) C_1-C_6 alkyl,
- (C) C_3-C_7 cycloalkyl,
- (D) C_2-C_6 alkenyl with one double bond,
- (E) C_2-C_6 alkynyl with one triple bond,
- (F) $\text{R}_{1-\text{aryl}}$ where $\text{R}_{1-\text{aryl}}$ is as defined above, and
- (G) $\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-heteroaryl}}$ is as defined above, or

(VI) $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$ where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

- (A) $-(\text{CH}_2)_{0-4}-\text{OH}$,
- (B) $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$ alkoxy,
- (C) $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$ thioalkoxy,
- (D) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is $-\text{H}$, C_1-C_6 alkyl or -phenyl,
- (E) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,
- (F) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,
- (G) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$,
- (H) $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,
- (I) $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,
- (J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,
- (K) $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,
- (L) $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,
- (M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,
- (N) $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ are the same or different and are as defined above,
- (O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl), and

(R) -F, or -Cl,

5 where R_C is:

(I)-C₁-C₁₀ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C₁-C₄ alkyl optionally substituted with one or two -OH,,

20 C₁-C₄ alkoxy optionally substituted with one, two, or three of:

-F,

-(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

C₂-C₆ alkenyl containing one or two double bonds,

C₂-C₆ alkynyl containing one or two triple bonds,

25 phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

30 (IV) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl} where R_{C-heteroaryl} is the same as R_{N-heteroaryl} and R_{C-x} and R_{C-y} are as defined above,

(V) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where $R_{C-heteroaryl}$, R_{C-aryl} , R_{C-x} and R_{C-y} are as defined above,

5 (VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-aryl} , R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is the same as $R_{N-heterocycle}$,

10 (X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl} , R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

15 (XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

20 (XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

30 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$,

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

5 (G) $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{1-aryl} ,

(H) $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

10 (J) $-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(K) $-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$ where R_{C-4} is -O-, -S- or $-NR_{C-5}-$ where R_{C-5} is C_1-C_6 alkyl, and where $R_{C'-aryl}$ is as defined above,

15 (N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$ where R_{C-4} and $R_{C-heteroaryl}$ are as defined above, and

(O) $-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above, and where R_{C-3} is the same or different and is:

(A) -H,

20 (B) $-C_1-C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of 25 C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of 30 C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(F) -R_{C'-aryl} where R_{C'-aryl} is as defined above,

(G) -R_{C-heteroaryl} where R_{C-heteroaryl} is as defined above,

(H) -R_{C-heterocycle} where R_{C-heterocycle} is as defined above,

(I) -(C₁-C₄ alkyl)-R_{C'-aryl} where R_{C'-aryl} is as defined above,

(J) -(C₁-C₄ alkyl)-R_{C-heteroaryl} where R_{C-heteroaryl} is as defined

above, or

(K) -(C₁-C₄ alkyl)-R_{C-heterocycle} where R_{C-heterocycle} is as defined

above,

(XVI) -CH(R_{C-aryl})₂ where R_{C-aryl} are the same or different and are as defined above,

(XVII) -CH(R_{C-heteroaryl})₂ where R_{C-heteroaryl} are the same or different and are as defined above,

(XVIII) -CH(R_{C-aryl})(R_{C-heteroaryl}) where R_{C-aryl} and R_{C-heteroaryl} are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle} where R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle} are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5}, O, or S(=O)₀₋₂, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C₁-C₃ alkyl, -F, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, =O, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XX) C₂-C₁₀ alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XXI) C₂-C₁₀ alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XXI) -(CH₂)₀₋₁-CHR_{C-6}-(CH₂)₀₋₁-R_{C-aryl} where R_{C-aryl} is as defined above and R_{C-6} is -(CH₂)₀₋₆-OH,

(XXII) $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ and R_{C-6} is as defined above,

(XXIII) $-CH(-R_{C-aryl} \text{ or } R_{C-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$ where R_{C-aryl} and $R_{C-heteroaryl}$ are as defined above,

5 (XXIV) $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$,

(XXV) $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) $-H$, or

10 (XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

2. A substituted amine of formula (X) according to claim 1 ✓

where R_1 is:

15 $-(CH_2)_{0-1}-(R_{1-aryl})$

$-(CH_2)_{n1}-(R_{1-heteroaryl})$

where R_N is:

$R_{N-1}-X_N$ where X_N is selected from the group consisting of:

$-CO-$, and

20 $-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N-aryl}$, and

$-R_{N-heteroaryl}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl}$;

25 where R_C is:

$-C_1-C_8 \text{ alkyl}$,

$-(CH_2)_{0-3}-(C_3-C_7 \text{ cycloalkyl})$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

30 $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

$-cyclopentyl$ or $-cyclohexyl$ ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

3. A substituted amine of formula (X) according to claim 2 ✓

Soy AI

where R_1 is:

$-(CH_2)-(R_{1-aryl})$, or

$-(CH_2)-(R_{1-heteroaryl})$;

where R_2 is $-H$;

where R_3 is $-H$;

where R_N is:

$R_{N-1}-X_N$ where X_N is:

$-CO-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N-aryl}$,

$-R_{N-heteroaryl}$,

where R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

$-cyclopentyl$ or $-cyclohexyl$ ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or

$R_{C-heterocycle}$.

4. A substituted amine of formula (X) according to claim 3 where R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-cyclopentyl$ or $-cyclohexyl$ ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or

$R_{C-heterocycle}$.

5. A substituted amine of formula (X) according to claim 1 where R_1 is

$-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl.

6. A substituted amine of formula (X) according to claim 1 where R_1 is

$-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl substituted with two $-F$.

7. A substituted amine of formula (X) according to claim 6 where the $-F$ substitution is 3,5-difluorobenzyl.

8. A substituted amine of formula (X) according to claim 1 where R_2 is -H.
9. A substituted amine of formula (X) according to claim 1 where R_3 is -H.
- 5 10. A substituted amine of formula (X) according to claim 1 where R_N is $R_{N-1}-X_N-$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-NR_{N-2}R_{N-3} where the substitution on phenyl is 1,3-.
- 10 11. A substituted amine of formula (X) according to claim 10 where R_{N-2} and R_{N-3} are the same and are C₃ alkyl.
12. A substituted amine of formula (X) according to claim 1 where R_N is $R_{N-1}-X_N-$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C₁ alkyl and with one -CO-NR_{N-2}R_{N-3} where the substitution on
15 the phenyl is 1,3,5-.
13. A substituted amine of formula (X) according to claim 12 where R_{N-2} and R_{N-3} are the same and are C₃ alkyl.
- 20 14. A substituted amine of formula (X) according to claim 1 where R_N is $R_{N-1}-X_N-$ where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-NR_{N-2}R_{N-3}.
- 25 15. A substituted amine of formula (X) according to claim 14 where R_{N-2} and R_{N-3} are the same and are -C₃ alkyl.
16. A substituted amine of formula (X) according to claim 1 where R_C is:
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-aryl} is phenyl,
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},
 30 -cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.
17. A substituted amine of formula (X) according to claim 16 where R_C is:
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-aryl} is phenyl.

18. A substituted amine of formula (X) according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine of formula (X) according to claim 16 where R_C is:

5 $-(CH_2)-R_C\text{-heteroaryl-}$

20. A substituted amine of formula (X) according to claim 16 where R_C is:

$-(CH_2)-R_C\text{-heterocycle-}$

10 21. A substituted amine of formula (X) according to claim 16 where R_C is:

$\text{-cyclohexyl ring fused to a phenyl ring.}$

22. A substituted amine of formula (X) according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camrylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

23. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-}3\text{-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(2\text{-furylmethyl})\text{amino}]\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl-}3\text{-}(ethylamino)\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$

N^1 -[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1 -((1S)-1-benzyl-2-hydroxy-3-[[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino]propyl)- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl}- N^3,N^3 -dipropylisophthalamide,

15 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

20 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

25 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

30 N^1 -{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(2-pyridinyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-pyridinylmethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(1-methyl-2-pyrrolidinyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{2,3-dimethylbenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(trifluoromethoxy)benzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{2-chloro-6-phenoxybenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-(trifluoromethyl)benzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-((1S,2R)-1-benzyl-3-{{2,3-dichlorobenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{3,5-dichlorobenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-((1S,2R)-1-benzyl-3-{{3,5-difluorobenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-(trifluoromethoxy)benzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-3-{{2-[4-(aminosulfonyl)phenyl]ethyl}amino}-1-benzyl-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-methoxybenzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-methylbenzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{3,4,5-trimethoxybenzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(trifluoromethoxy)benzyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{3,5-dimethoxybenzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1R)-1-phenylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1S)-1-phenylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,~~

509 A'

SECRET

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-3-{{2-(2-fluorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
5 ~~N¹-((1S,2R)-1-benzyl-3-{{2-(3-fluorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-3-{{2-(4-fluorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-3-{{2-(4-bromophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
10 ~~N¹-((1S)-1-benzyl-2-hydroxy-3-{{2-(3-methoxyphenyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-3-{{2-(2,4-dichlorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
15 ~~N¹-((1S,2R)-1-benzyl-3-{{2-(3-chlorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S)-1-benzyl-3-{{2-(2,5-dimethoxyphenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(4-methylphenyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~
20 ~~N¹-((1S,2R)-1-benzyl-3-{{(1R)-1-benzyl-2-hydroxyethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(4-morpholinyl)propyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~
25 ~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(4-morpholinyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(hydroxybutyl)amino}propyl}-N³,N³-dipropylisophthalamide,~~
30 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(2-thienyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~
~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-(hydroxybutyl)amino}propyl}-N³,N³-dipropylisophthalamide,~~

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl]}- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl]}- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl]}- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]}- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

20 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]}-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]}-5-methyl- N^3,N^3 -dipropylisophthalamide,

Sub A1
~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]butyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

30 N^1 -[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- N^3,N^3 -dipropylisophthalamide,
5 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- N^3,N^3 -dipropylisophthalamide,
 N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
10 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- N^3,N^3 -dipropylisophthalamide,
 N^2 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
 N^5,N^5 -dipropyl-2,5-thiophenedicarboxamide,
15 N^4 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^2,N^2 -dipropyl-2,4-pyridinedicarboxamide,
 N^4 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^6,N^6 -dipropyl-4,6-pyrimidinedicarboxamide,
 N -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,
20 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
25 N^1 -[(1S,2R)-3-{(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S)-3-{(2-[4-(aminosulfonyl)phenyl]ethyl)amino}-1-benzyl-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- N^5 , N^5 -dipropyl-3,5-pyridinedicarboxamide,
 3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,
 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3 -(2-methoxyethyl)- N^3 -propylisophthalamide,
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,
 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- N^3 , N^3 -dipropylisophthalamide,
 15 N^1 -[(1R)-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[2-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-
 20 N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[3-fluoro-4-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 25 N^1 -{(1S,2R)-1-benzyl-3-[[3-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[4-fluoro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 30 N^1 -{(1S,2R)-1-benzyl-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[4-chloro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl}- N^3 , N^3 -dipropylisophthalamide,

~~N¹-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-[(1S,2R)-1-benzyl-3-{3-(difluoromethoxy)benzyl}amino]-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³-methyl-N³-propylisophthalamide,~~

25 ~~N²-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N⁵,N⁵-dipropyl-2,5-furandicarboxamide,~~

~~N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{3-(trifluoromethyl)benzyl}amino}propyl]-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

30 ~~N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

~~N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide, isomer A,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-[(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chlorobenzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-cyanobenzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chloro-3-nitrobenzamide,

methyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthracenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-indole-5-carboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-fluoro-5-(trifluoromethyl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethyl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(butylamino)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(trifluoromethoxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethoxybenzamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-difluorobenzamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dichlorobenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-(benzyloxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1,3-benzodioxole-5-carboxamide,

15 3-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

4-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3,5-dimethyl-4-isoxazolyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N³,N³-dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{1-methyl-1-(3-methylphenyl)ethyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-{{2-chloro-5-(trifluoromethyl)benzyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 5-chloro- N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-{{(1R)-1-(3-bromophenyl)ethyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -((1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[butyl(butyryl)amino]-5-methylbenzamide,
25 N^1 -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,
 N^3 -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^1,N^1 -dipropylisophthalamide,
 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl- N^3,N^3 -dipropylisophthalamide,
30 N -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-butyl-1H-indole-6-carboxamide,
 N^1 -[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5-bromo-N¹-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide,

5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N³,N³-dipropylisophthalamide hydrochloride,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

1- N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,

15 5-(aminosulfonyl)-N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

20 N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N³,N³-dipropylisophthalamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide,

25 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(methylsulfonyl)propanamide,

2-amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide,

30 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N⁴-phenylsuccinamide,

(3R)-N⁴-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N⁵,N⁵-dipropylpentanediamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-oxo-4-(1-piperidinyl)butanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N⁴,N⁴-dipropylsuccinamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N⁵-phenylpentanediamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-(isopentylsulfonyl)butanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2,2-dimethyl-N⁴,N⁴-dipropylsuccinamide,

20 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-[(dipropylamino)sulfonyl]butanamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-[(methylanilino)sulfonyl]butanamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[(methylanilino)sulfonyl]propanamide,

25 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)acetamide, N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(isopentylsulfonyl)propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide,

30 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide and

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- N^3 -propylisophthalamide,

10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dimethyl- N^5,N^5 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

15 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3 -propyl-1,3,5-benzenetricarboxamide,

20 N -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl} octanamide,

N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

Sch A1

062930-0249000

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide,

10 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1,N^1 -diallyl-5-chloro- N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,

N^1,N^1 -diallyl-5-chloro- N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,

N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

20 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]- N^5,N^5 -dipropylpentanediamide,

N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
5-chloro- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^3,N^3 -di(2-propynyl)isophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,
methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 (1R,2R)- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 4-(butylamino)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,
 N^1 -[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
10 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide 1-oxide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(2-furyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-5-isoxazolyl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

10 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{{2-(2-chlorophenyl)ethyl}amino}-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(2-oxo-1-pyrrolidiny)propyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1 -[(1S,2R)-1-benzyl-3-{{2-[(2-ethylhexyl)oxy]ethyl}amino}-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{1-(4-hydroxyphenyl)ethyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-2-ylmethyl]amino)-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(dimethylamino)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-naphthamide,

5 N¹-[(1S,2R)-1-benzyl-3-({2-[(5-[(dimethylamino)methyl]-2-furyl)methyl]sulfanyl}ethyl)amino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-3-([(1,1'-biphenyl)-4-ylmethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-3-({(2-butyl-4-chloro-1H-imidazol-5-yl)methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl}amino)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N¹-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

5 methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-methyl-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-quinolinyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(2-quinolinyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-({[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(2-butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,
 5 methyl 3-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,
 10 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N³,N³-dipropylisophthalamide,
 15 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N³,N³-dipropyl-5-prop-1-ynylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-ethynyl-N³,N³-dipropylisophthalamide,
 20 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-(8-quinoliny)isophthalamide,
 25 N³-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N⁵,N⁵-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N³-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N³-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,
 30 N³-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N³-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N⁵,N⁵-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-
 [(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -
 dipropyl-5-(3-thienyl)isophthalamide,
 5 N -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,
 N^1 -(4-hydroxybutyl)- N^3 -{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 methoxybenzyl)amino]propyl}-5-methyl- N^1 -propylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 10 methoxybenzyl)amino]propyl}- N^3 -(3-hydroxypropyl)-5-methyl- N^3 -
 propylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-
 15 hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-
 methylphenyl)propyl]amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 methyl- N^3,N^3 -dipropylisophthalamide,
 20 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-
 dioxo-2-propyl-5-isoindolinecarboxamide,
 N -{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
 bromo-5-methylbenzamide,
 25 3-bromo- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,
 30 N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 methyl- N^1,N^1 -dipropylisophthalamide,
 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-
 furyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl-3-(3-thienyl)benzamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³,N⁵,N⁵-tetrapropylbenzene-1,3,5-tricarboxamide,

20 N¹-((1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

25 N¹-((1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropylbenzene-1,3,5-tricarboxamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-[[trifluoromethyl)sulfonyl]amino]isophthalamide,

5-Amino-N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

Sub A1
~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,~~

~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,~~

5 ~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide.~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,~~

~~tert-butyl (2R,3S)-3-[(3-[(dipropylamino)sulfonyl]-propanoyl)amino]-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate~~

15 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,~~

20 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,~~

25 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,~~

~~3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,~~

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -dipropyl-5-prop-1-ynylisophthalamide,
 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,
5 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy- N^3 -methylisophthalamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,
10 5-(aminosulfonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,
15 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)- N^3 , N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3 , N^3 -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,
3-(cyclohexylcarbonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3 -propylisophthalamide,
25 3-[cyclohexyl(hydroxy)methyl]- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)- N^3 , N^3 -dipropylisophthalamide
30 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3 , N^3 -dipropylisophthalamide,

N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5 -dipropylpyridine-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- N^5,N^5 -dipropylpyridine-3,5-dicarboxamide,

5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-L-prolinamide,

5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl-5-methylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- $N^3,5$ -dimethylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,5$ -dimethyl- N^3 -prop-2-ynylisophthalamide,

15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl-5-methylisophthalamide,

N^1 -(sec-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

20 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -diethyl-5-methylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,5$ -dimethyl- N^3 -propylisophthalamide,

25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl- $N^3,5$ -dimethylisophthalamide,

N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1,5$ -dimethylisophthalamide,

30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl- $N^3,5$ -dimethylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl-5-methyl- N^3 -propylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 -isopropyl-5-methylisophthalamide,

N^1, N^1 -diallyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

5 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3, N^3 -diisopropyl-5-methylisophthalamide,

N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 -ethyl-5-methylisophthalamide,

N^1 -(cyclopropylmethyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^1 -propylisophthalamide,

15 1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl}-5-methylbenzoyl}-D-prolinamide,

N^1 -cyclohexyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1, 5$ -dimethylisophthalamide,

20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-(3-methylphenyl)cyclopropyl)amino]propyl}-5-methyl- N^3, N^3 -dipropylisophthalamide,

N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]- N^5, N^5 -diisopropylpyridine-3,5-dicarboxamide, and

25 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide.

24. A substituted amine of formula (X) according to claim 23 which is selected from the group consisting of:

30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3, N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- N^3, N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3, N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(2-hydroxyethoxy)ethyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(trifluoromethoxy)benzyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(trifluoromethoxy)benzyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1-methyl-2-oxoethyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl}amino}propyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl}amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1,1-dimethyl-2-oxoethyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl- N^3,N^3 -
5 dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl- N^3,N^3 -
dipropylisophthalamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-
10 methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-((1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino)propyl]-5-methyl- N^3,N^3 -
15 dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-((1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -
20 dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]butyl)amino)propyl]-5-methyl- N^3,N^3 -
25 dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

~~N¹-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,
(1R,3S)-5-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl)amino]-2-hydroxybutyl]amino]-1,3-cyclohexanedicarboxylic acid,~~

~~N¹-[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- N^3,N^3 -dipropylisophthalamide
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
10 N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- N^3,N^3 -dipropylisophthalamide,
 N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
15 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
20 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,
25 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3 -(2-methoxyethyl)- N^3 -propylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^1 -[(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3 -methyl- N^3 -propylisophthalamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

25 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide, isomer B,

30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

~~N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5-chloro- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[[[(1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- N^3,N^3 -dipropylisophthalamide hydrochloride,
25 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
5-(aminosulfonyl)- N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,
30 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]- N^3,N^3 -dipropylisophthalamide,~~

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-
 [(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidiny)pentanamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-
 ethyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-tert-
 butyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-
 cyano-N³-propylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl)-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl)-1-propyl-1H-indole-6-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-
 hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-
 hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-
 (trifluoromethyl)phenyl]ethyl}amino)propyl]-N⁵,N⁵-dipropyl-3,5-
 pyridinedicarboxamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-
 dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-
 ylamino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

5-chloro-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
 phenylethyl)amino]propyl)-N³,N³-bis(2-methoxyethyl)isophthalamide,

30 N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-
 phenylcyclopentyl)amino]propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-
 hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(4,5-dimethyl-2-furyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,~~

~~N³-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

10 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2S)-tetrahydro-2-furanylmethyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,~~

20 ~~methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,~~

~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~(1R,2R)-N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N²,N²-dipropyl-1,2-cyclopropanedicarboxamide,~~

~~N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2S)-tetrahydro-2-furanylmethyl}amino}propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

30 ~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide 1-oxide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
iodobenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-
ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-
hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-
10 yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-
yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-
ethynyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
hydroxypropyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-
methyl- N^3,N^3 -dipropylisophthalamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-
20 furyl)methyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
phenylethyl)amino]propyl)- N^5,N^5 -dipropylpentanediamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-
hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-
isoxazolyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-
yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
30 hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,
 N^1 -[(1S,2R)-3-[[1,1'-biphenyl]-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-
hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-
ylmethyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

10 N^1 -[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-prop-1-ynylisophthalamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

5 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

15 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3 -(3-hydroxypropyl)-5-methyl- N^3 -propylisophthalamide,

N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3,N^5,N^5 -tetrapropylbenzene-1,3,5-tricarboxamide,

N^1 -{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-

30 [(dipropylamino)carbonyl]benzoate,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-[[trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,
 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 [(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,
 5 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-
 dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,
 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-
 dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,
 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 10 (methacryloylamino)-N³,N³-dipropylisophthalamide,
 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 [(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide,
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 (methylthio)pentanamide,
 15 3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-
 ethylhexanamide,
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-
 20 [(isobutylsulfonyl)amino]propanamide,
 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl}-N³-(isobutylsulfonyl)-beta-alaninamide,
 5-bromo-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl}-N³,N³-dipropylisophthalamide, and
 25 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-
 phenylcyclopropyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-
 yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 30 hydroxypropyl}-N³,N³-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,
 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic
 acid,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -dipropyl-5-prop-1-ynylisophthalamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,
5 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3 , N^3 -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3 -propylisophthalamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3 , N^3 -dipropylisophthalamide,
15 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl)-5-methyl- N^3 , N^3 -dipropylisophthalamide,
1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-L-prolinamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl-5-methylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 ,5-dimethylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 ,5-dimethyl- N^3 -prop-2-ynylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl-5-methylisophthalamide,
30 N^1 -(sec-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -diethyl-5-methylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 ,5-dimethyl- N^3 -propylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl- N^3 ,5-dimethylisophthalamide,
 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 ,5-dimethylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl- N^3 ,5-dimethylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl-5-methyl- N^3 -propylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 -isopropyl-5-methylisophthalamide,
15 N^1,N^1 -diallyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
3-(azepan-1-ylcarbonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
20 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -diisopropyl-5-methylisophthalamide,
25 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 -ethyl-5-methylisophthalamide,
 N^1 -(cyclopropylmethyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^1 -propylisophthalamide,
 N^1 -cyclohexyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 ,5-dimethylisophthalamide,
30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
and

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[trifluoromethyl)sulfonyl]amino}benzamide.

25. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylbutanoyl)-5-methylbenzamide,

N¹-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(3-pyridinyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-pyridinyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-(1-propynyl)isophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropyl-5-(1-propynyl)isophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropyl-5-(2-propynyl)isophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-(2-propynyl)isophthalamide,
 N^1 -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
25 N^1 -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
30

- N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-
 N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-
 [(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,
 5 N^1 -[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-
 N^3,N^3 -dipropylisophthalamide,
 N^1 -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-
 methylbutyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3 -
 10 dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-
 N^3,N^3 -dipropylisophthalamide,
 15 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-
 naphthylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl]- N^3,N^3 -
 dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-
 20 methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-
 methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl)-
 5-methyl- N^3,N^3 -dipropylisophthalamide,
 25 N^1 -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl)-
 N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-
 methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,
 N^1 -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-
 30 methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

5 N^1 -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

10 N^1 -[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

20 N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

25 N^1 -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

30 N¹-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

506
A1

5 N¹-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
10 N¹-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,
15 N¹-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
20 N-[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(dipropylamino)sulfonyl]propanamide,
N¹-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,
25 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,
N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-N⁵,N⁵-dipropylpentanediamide,
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]propanamide,
30 methoxybenzyl)amino]propyl}propanamide,

N^1 -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

5 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

10 N^1 -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

15 N^1 -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl}propanamide,

20 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5 -dipropylpentanediamide,
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl}propanamide,

25 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5 -dipropylpentanediamide,
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1 -[(1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

(1R,2R,3R)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,

15 (1R,2R,3S)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2 -dipropyl-1,2-

20 cyclopropanedicarboxamide,

(1R,2R,3S)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2-

25 cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)- N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)- N -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

30

(1R,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

5 (1S,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

10 (1S,2R,3R)-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-
15 cyclopropanedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropyl-5-
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N¹-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropyl-5-
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N -[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1 -[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N³,N³-dipropylisophthalamide,

5 N¹-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

30 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(1-propynyl)benzyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

5 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-methyl-1-butynyl)benzyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(2-propynyl)benzyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[2-ethyl-2H-tetrazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[2-isobutyl-2H-tetrazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[6-(dimethylamino)-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -

dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(4-isopropyl-2-pyrimidinyl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(4-ethyl-2-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-3-pyridazinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -

15 dipropylisophthalamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isopropyl-3-pyridazinyl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(1-propynyl)benzyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(6-isopropyl-4-pyridazinyl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-ethynylbenzyl]amino}-2-hydroxypropyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(6-ethyl-4-pyridazinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-isopropylbenzyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(6-ethyl-2-pyrazinyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N³-{[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,

5 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(6-isopropyl-2-pyrazinyl)methyl]amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]-2-hydroxypropyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{[(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

5 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

10 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

25 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

- Sub A'*
- 5 N^1 -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
10 N^1 -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
15 N^1 -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
20 N^1 -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
25 N^1 -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
25 N^1 -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

5 N¹-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

25 N¹-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

Sub A

~~N¹-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

5 ~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

10 ~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

~~N¹-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,~~

20 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

25 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

30 ~~N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,~~

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
30 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N⁴-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N³-methylisophthalamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N³-methylisophthalamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³-ethyl-4-hydroxyisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

10 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N³-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-isobutylisophthalamide,

2-{{{cyclopropylmethyl}sulfonyl}amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

10 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-isobutyl-N³-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-methylisophthalamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-methyl-N³-propylisophthalamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N³-methyl-N³-propylisophthalamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³-ethyl-4-hydroxy-N³-propylisophthalamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[[4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-ethyl-4-hydroxy-N³-propylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[[4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³, N³-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N³, N³-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N³, N³-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

20 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

25 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³, N³-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

30 N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³, N³-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N¹-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,~~

10 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide,~~

15 ~~5-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)isophthalamide,~~

20 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)benzamide,~~

25 ~~3-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,~~

30 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,~~

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(isobutylsulfonyl)amino]benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(isopropylsulfonyl)amino]benzamide,

5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(1-ethylpropyl)sulfonyl]amino} benzamide,

3-[(cyclohexylsulfonyl)amino]-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} benzamide,

10 N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(1-propylbutyl)sulfonyl]amino} benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(thien-2-yl)sulfonyl]amino} benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(2-furylsulfonyl)amino]benzamide,

15 N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

20 N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(3-furylsulfonyl)amino]benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

25 N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

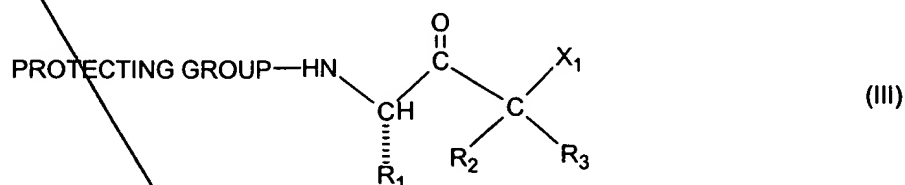
30 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N³,N³-dipropyl-5-[(trifluoromethyl)sulfonyl]amino} isophthalamide,

N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³,N³-dipropyl-5-[(trifluoromethyl)sulfonyl]amino} isophthalamide,

N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-
 [(methylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,
 N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-
 2-hydroxypropyl} isophthalamide,
 5 N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-
 2-hydroxypropyl}-5-methylisophthalamide,
 5-bromo- N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-
 ethylbenzyl)amino]-2-hydroxypropyl} isophthalamide,
 3-tert-butoxy- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 10 hydroxypropyl} benzamide,
 3-tert-butoxy- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 hydroxypropyl}-5-methylbenzamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino} benzamide,
 15 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 hydroxypropyl}-3-(trifluoromethoxy)benzamide, and
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
 hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

20 26. A protected compound of the formula (III)



where R_1 is:

(I) C_1 - C_6 alkyl, optionally substituted with one, two or three
 substituents selected from the group consisting of C_1 - C_3 alkyl, C_1 - C_7 alkyl (optionally
 25 substituted with C_1 - C_3 alkyl and C_1 - C_3 alkoxy), -F, -Cl, -Br, -I, -OH,
 -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,
 and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(II) -CH $_2$ -S(O) $_{0.2}$ -(C_1 - C_6 alkyl),

(III) -CH $_2$ -CH $_2$ -S(O) $_{0.2}$ -(C_1 - C_6 alkyl),

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5 (V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is
10 phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C≡N, -CF₃, C₁-C₃
15 alkoxy,

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
20 H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
25 H or C₁-C₆ alkyl,

(D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three
of: -F,

(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

30 (I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5

10

15

20

25

30

pyrimidinyl,

quinolinylyl,

benzothienyl,

indolyl,

~~indoliny~~l,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinoly

quinazolinyl,

quinoxaliny],

phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indolizinył,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,

5 triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
10 isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
15 isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
20 benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
25 phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
30 dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisoiazinyl,
benzopyranyl,

T 0 6 3 0 " 6 E T 9 9 6 0

5 benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
10 dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
15 benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
20 quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
25 quinoxaliny N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
30 thiazolyl N-oxide,
indoliziny N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,

pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{1-\text{heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1-\text{heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1-\text{heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) $-C\equiv N$,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) $-CO-(C_1-C_4 \text{ alkyl})$,

- (12) $-\text{SO}_2-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,
 (13) $-\text{CO}-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or
 (14) $-\text{SO}_2-(\text{C}_1-\text{C}_4 \text{ alkyl})$, with the proviso that when n_1 is zero

$\text{R}_{1-\text{heteroaryl}}$ is not bonded to the carbon chain by nitrogen, or

5 (VIII) $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{heterocycle}})$ where n_1 is as defined above and

$\text{R}_{1-\text{heterocycle}}$ is selected from the group consisting of:

- morpholinyl,
 thiomorpholinyl,
 thiomorpholinyl S-oxide,
 10 thiomorpholinyl S,S-dioxide,
 piperazinyl,
 homopiperazinyl,
 pyrrolidinyl,
 pyrrolinyl,
 15 tetrahydropyranyl,
 piperidinyl,
 tetrahydrofuranyl,
 tetrahydrothienyl,
 homopiperidinyl,
 20 homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide, and
 oxazolidinonyl,
 dihydropyrazolyl
 25 dihydropyrrolyl
 dihydropyrazinyl
 dihydropyridinyl
 dihydropyrimidinyl
 dihydrofuryl
 30 dihydropyranyl
 tetrahydrothienyl S-oxide
 tetrahydrothienyl S,S-dioxide
 homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

5 (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

10 (2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

(3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group
15 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

(4) -F, -Cl, -Br or -I,

(5) $C_1\text{-}C_6$ alkoxy,

(6) $-C_1\text{-}C_6$ alkoxy optionally substituted with one, two,
20 or three of -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) $-C\equiv N$,

25 (10) $C_3\text{-}C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

(11) $-CO\text{-}(C_1\text{-}C_4\text{ alkyl})$,

(12) $-SO_2\text{-}NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

30 above,

(13) $-CO\text{-}NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

above,

(14) $-SO_2\text{-}(C_1\text{-}C_4\text{ alkyl})$, or

(15) =O, with the proviso that when n_1 is zero

$R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I)-H,

5 (II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1\text{-aryl}}$ or R $_{1\text{-heteroaryl}}$ where R $_{1\text{-aryl}}$ and
10 R $_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where
15 R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, or

20 (VI) -(CH $_2$) $_{0-4}$ - C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl;

where R_3 is:

(I)-H,

25 (II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1\text{-aryl}}$ or R $_{1\text{-heteroaryl}}$ where R $_{1\text{-aryl}}$ and
30 R $_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2 - C_6 alkenyl with one or two double bonds,

(V) C_2 - C_6 alkynyl with one or two triple bonds, or

- (VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{N-2}-$, where R_{N-2} is as defined below;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

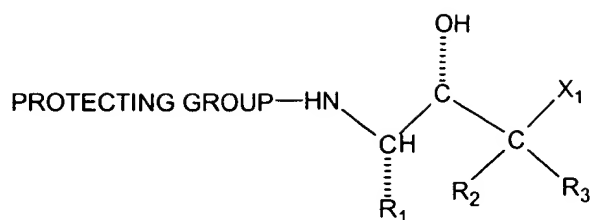
- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, $-CH-CH=CH_2$ and phenyl-C(=N)-H.

27. A protected compound of formula (III) according to claim 26 where R_1 is:

$-CH_2-(R_{1-aryl})$, or

$-CH_2-(R_{1-heteroaryl})$.

28. A protected compound of formula (III) according to claim 27 where R_{1-aryl} is phenyl.
29. A protected compound of formula (III) according to claim 28 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.
30. A protected compound of formula (III) according to claim 29 where phenyl is substituted with one or two -F.
31. A protected compound of formula (III) according to claim 30 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.
32. A protected compound of formula (III) according to claim 26 where R₂ and R₃ are both -H.
33. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is *t*-butoxycarbonyl.
34. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is benzyloxycarbonyl.
35. A protected compound of formula (III) according to claim 26 where X₁ is -Cl or -Br.
36. A protected compound of formula (III) according to claim 26 which is selected from the group consisting of:
tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate and
benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate.
37. An alcohol of the formula (IV)



(IV)

where R₁ is:

- (I) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, C₁-C₇ alkyl (optionally substituted with C₁-C₃ alkyl and C₁-C₃ alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,
- (II) -CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),
- (III) -CH₂-CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),
- (IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
- (V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
- (VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
- (A) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C≡N, -CF₃, C₁-C₃ alkoxy,
- (B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR_{N-2}R_{N-3} R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double

bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and

one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

above,

(H) -OH,

(I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where

R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

5 thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
10 beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
15 isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
20 benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
25 phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
30 imidazothiazolyl,
dihydrobenzisoquinazyl,
benzisoquinazyl,
benzoxazinyl,
dihydrobenzothiazinyl,

5 benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
10 dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
15 benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
20 pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
25 quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
30 oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,

benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring
 atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to
 the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is
 optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three
 substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,
 -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
 above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are
 -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are
 -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) $-C_1-C_6$ alkoxy optionally substituted with one, two, or three
 of: -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(8) -OH,

(9) $-C\equiv N$,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$,
 $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) $-\text{CO}-(\text{C}_1-\text{C}_4 \text{ alkyl})$,

(12) $-\text{SO}_2-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-\text{CO}-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(14) $-\text{SO}_2-(\text{C}_1-\text{C}_4 \text{ alkyl})$, with the proviso that when n_1 is zero

5 $\text{R}_{1-\text{heteroaryl}}$ is not bonded to the carbon chain by nitrogen, or

(VIII) $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{heterocycle}})$ where n_1 is as defined above and

$\text{R}_{1-\text{heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

10 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

15 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

20 homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

oxazolidinonyl,

25 dihydropyrazolyl

dihydropyrrolyl

dihydropyrazinyl

dihydropyridinyl

dihydropyrimidinyl

30 dihydrofuryl

dihydropyranyl

tetrahydrothienyl S-oxide

tetrahydrothienyl S,S-dioxide

homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

- 5 (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,
- 10 (2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,
- 15 (3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,
- (4) -F, Cl, -Br or -I,
- (5) $C_1\text{-}C_6$ alkoxy,
- (6) $-C_1\text{-}C_6$ alkoxy optionally substituted with one, two, 20 or three of -F,
- (7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,
- (8) -OH,
- (9) $-C\equiv N$,
- 25 (10) $C_3\text{-}C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,
- (11) $-CO\text{-}(C_1\text{-}C_4\text{ alkyl})$,
- (12) $-SO_2\text{-}NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined 30 above,
- (13) $-CO\text{-}NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,
- (14) $-SO_2\text{-}(C_1\text{-}C_4\text{ alkyl})$, or

(15) =O, with the proviso that when n_1 is zero

$R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I)-H,

5 (II) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

10 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

15 (V) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl, or

20 (VI) -(CH₂)₀₋₄- $C_3\text{-}C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl;

where R_3 is:

(I)-H,

25 (II) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) $C_2\text{-}C_6$ alkenyl with one or two double bonds,

(V) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, or

- (VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{N-2}-$, where R_{N-2} is as defined above;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-*xenyl*)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH₂ and phenyl-C(=N)-H.

38. An alcohol of formula (IV) according to claim 37 where R_1 is:

$-CH_2-(R_{1-aryl})$, or

$-CH_2-(R_{1-heteroaryl})$.

39. An alcohol of formula (IV) according to claim 38 where R_{1-aryl} is phenyl.

40. An alcohol of formula (IV) according to claim 39 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

5 41. An alcohol of formula (IV) according to claim 40 where phenyl is substituted with one or two -F.

42. An alcohol of formula (IV) according to claim 41 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

10 43. An alcohol of formula (IV) according to claim 37 where R₂ and R₃ are both -H.

44. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is *t*-butoxycarbonyl.

15 45. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is benzyloxycarbonyl.

46. An alcohol of formula (IV) according to claim 37 where X₁ is -Cl or -Br.

20 47. An alcohol of formula (IV) according to claim 37 which is selected from the group consisting of:

tert-butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

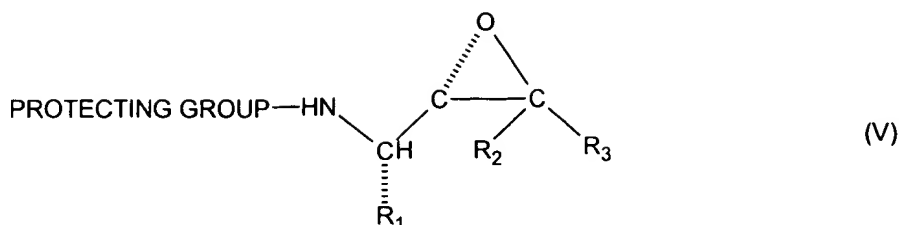
25 tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
and

benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

30

48. An epoxide of the formula (V)



where R_2 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1-aryl}$ or R $_{1-heteroaryl}$ where R $_{1-aryl}$ and R $_{1-heteroaryl}$ are as defined above;

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, or

(VI) -(CH $_2$) $_{0-4}$ - C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl;

where R_3 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1-aryl}$ or R $_{1-heteroaryl}$ where R $_{1-aryl}$ and R $_{1-heteroaryl}$ are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two

or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

5 -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, -SO₂-, and -NR_{N-2}-, where where R_{N-2} and R_{N-3} are the same or different and are

10 selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

15 (ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

20 (f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and

25 one triple bond,

(j) -R_{1-aryl}, and

(k) -R_{1-heteroaryl},

where PROTECTING GROUP is selected from the group consisting of *t*-

butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,

30 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-

phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl,

4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,

2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-

bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-

cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-
 yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
 5 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-
 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
 10 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,
 cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl,
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -
 CH-CH=CH₂ and phenyl-C(=N-)-H,

where R₁ is:

- 15 -CH₂-phenyl where -phenyl is substituted with two -F,
 -(CH₂)_{n1}-R₁-heteroaryl or
 -(CH₂)_{n1}-R₁-heterocycle.

49. An epoxide of formula (V) according to claim 48 where R₁ is:

- 20 -(CH₂)_{n1}-(R₁-heteroaryl).

50. An epoxide of formula (V) according to claim 48 where n₁ is 1.

51. An epoxide of formula (V) according to claim 48 where R₁ is:

- 25 -(CH₂)_{n1}-(R₁-heterocycle).

52. An epoxide of formula (V) according to claim 51 where n₁ is 1.

53. An epoxide of formula (V) according to claim 48 where phenyl is substituted in
 30 the 3- and 5- positions giving 3,5-difluorophenyl.

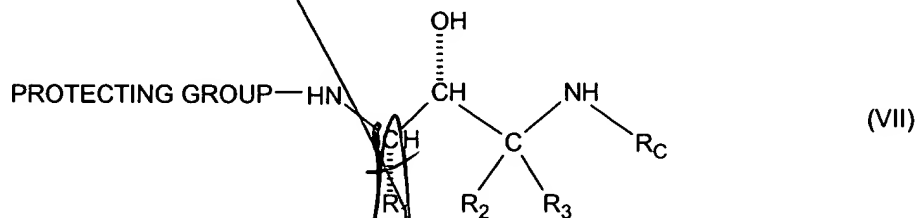
54. An epoxide of formula (V) according to claim 48 where R₂ and R₃ are both -H.

55. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is *t*-butoxycarbonyl.

56. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is benzyloxycarbonyl.

57. An epoxide of formula (V) according to claim 48 which is selected from the group consisting of:
 tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate, and
 benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate.

58. A protected alcohol of the formula (VII)



where R_2 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1-aryl}$ or R $_{1-heteroaryl}$;

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, or

(VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

where R_3 is:

5

(I) -H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

10

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds, or

15

(VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{N-2}-$, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

20

(a) -H,

(b) C_1-C_6 alkyl optionally substituted with one substituent selected from the group consisting of:

25

(i) -OH, and

(ii) $-NH_2$,

(c) C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

30

(d) C_3-C_7 cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

bonds,

(g) C_2-C_6 alkenyl with one or two double

(h) C_2-C_6 alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined

5 above;

where R_C is:

(I) $-C_1-C_{10}$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O$ -phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-OC(=O)NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-S(=O)_{0-2}R_{1-a}$ where R_{1-a} is as defined above, $-NR_{1-a}C(=O)NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-C(=O)NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and $-S(=O)_2NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O$ -phenyl, $-COOH$, $-CO-O-(C_1-C_4)$ alkyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are

$-H$,

C_1-C_4 alkyl optionally substituted with one or two $-OH$,

C_1-C_4 alkoxy optionally substituted with one, two, or three of:

$-F$,

$-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl containing one or two triple bonds,

phenyl,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of $-O-$, $-S-$, $-SO_2-$, and $-NR_{N-2}$ and R_{C-aryl} is the same as R_{N-aryl} ;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ and R_{C-x} and R_{C-y} are as defined above,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are as defined

above,

(VI) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(VII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

5 (VIII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(IX) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

10 (X) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XI) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

15 (XIII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XIV) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

20 (XV) $-[\text{C}(\text{R}_{\text{C-1}})(\text{R}_{\text{C-2}})]_{1-3}-\text{CO}-\text{N}-(\text{R}_{\text{C-3}})_2$ where $\text{R}_{\text{C-1}}$ and $\text{R}_{\text{C-2}}$ are the same or different and are selected from the group consisting of:

(A) -H,

(B) $\text{C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (C) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

30 (D) $\text{C}_2\text{-C}_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$,

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

5 (G) $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$,

(H) $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$,

(J) $-R_{C-heteroaryl}$,

(K) $-R_{C-heterocycle}$,

10 (M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$ where R_{C-4} is -O-, -S- or $-NR_{C-5}-$ where R_{C-5} is C_1-C_6 alkyl,

(N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$ where R_{C-4} is as defined above, and

(O) $-R_{C'-aryl}$,

15 and where R_{C-3} is the same or different and is:

(A) -H,

(B) $-C_1-C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

20 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

30 (E) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(F) $-R_{C'-aryl}$,

(G) $-R_{C\text{-heteroaryl}}$,

(H) $-R_{C\text{-heterocycle}}$,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C'\text{-aryl}}$,

(J) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$, or

(K) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$,

(XVI) $-\text{CH}(R_{C\text{-aryl}})_2$ where $R_{C\text{-aryl}}$ are the same or different,

(XVII) $-\text{CH}(R_{C\text{-heteroaryl}})_2$ where $R_{C\text{-heteroaryl}}$ are the same or different,

(XVIII) $-\text{CH}(R_{C\text{-aryl}})(R_{C\text{-heteroaryl}})$,

(XIX) $-\text{cyclopentyl}$, $-\text{cyclohexyl}$, or $-\text{cycloheptyl}$ ring fused to $R_{C\text{-aryl}}$ or

$R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where one carbon of cyclopentyl, cyclohexyl, or $-\text{cycloheptyl}$ is optionally replaced with NH , $\text{NR}_{\text{N-5}}$, O , or $\text{S}(=\text{O})_{0-2}$, and where cyclopentyl, cyclohexyl, or

$-\text{cycloheptyl}$ can be optionally substituted with one or two $-C_1-C_3$ alkyl, $-\text{F}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, $=\text{O}$, or $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, $-\text{O}-\text{phenyl}$, and $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, $-\text{O}-\text{phenyl}$, and $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-aryl}}$ and R_{C-6} is $-(\text{CH}_2)_{0-6}-\text{OH}$,

(XXII) $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-heteroaryl}}$ where R_{C-6} is as defined

above,

(XXIII) $-\text{CH}(-R_{C\text{-aryl}} \text{ or } R_{C\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$,

(XXIV) $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl}-\text{NO}_2$,

(XXV) $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$,

(XXVII) $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$,

(XXVIII) $-\text{H}$, or

(XXIX) $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyldyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH₂ and phenyl-C(=N-)-H.

where R₁ is:

- CH₂-phenyl where -phenyl is substituted with two -F,
- (CH₂)_{n1}-R₁-heteroaryl and
- (CH₂)_{n1}-R₁-heterocycle, chemically acceptable salts thereof.

59. A protected alcohol of formula (VII) according to claim 58 where R₁ is:
-(CH₂)_{n1}-(R₁-heteroaryl).
60. A protected alcohol of formula (VII) according to claim 59 where n₁ is 1.
61. A protected alcohol of formula (VII) according to claim 58 where R₁ is:
-(CH₂)_{n1}-(R₁-heterocycle).
62. A protected alcohol of formula (VII) according to claim 61 where n₁ is 1.

63. A protected alcohol of formula (VII) according to claim 58 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

5 64. A protected alcohol of formula (VII) according to claim 58 where R_2 and R_3 are both -H.

65. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is *t*-butoxycarbonyl.

10 66. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is benzyloxycarbonyl.

67. A protected alcohol of formula (VII) according to claim 58 where R_C is:

15 -H,
 -C₁-C₈ alkyl,
 -(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},
 20 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}, or
 -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle} where R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle} are as defined in claim 1.

68. A protected alcohol of formula (VII) according to claim 67 where R_C is:

25 -C₁-C₈ alkyl,
 -(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},
 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}, or
 30 -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.

69. A protected alcohol of formula (VII) according to claim 68 where R_C is:

-C₁-C₈ alkyl,

$-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}$,

$-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}$,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $\text{R}_{\text{C-aryl}}$ or $\text{R}_{\text{C-heteroaryl}}$ or $\text{R}_{\text{C-heterocycle}}$.

heteroaryl or $\text{R}_{\text{C-heterocycle}}$.

5

70. A protected alcohol of formula (VII) according to claim 58 which is selected from the group consisting of:

tert-butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-(tert-butylamino)-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-

methylbenzyl)amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

20 ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl} amino)(phenyl)acetate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[{(1S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino}propylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propylcarbamate,

10 tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(1-pyrrolidinyl)ethyl]amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-pyridinyl)ethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(trifluoromethoxy)benzyl]amino]propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[4-(trifluoromethyl)benzyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[4-(trifluoromethoxy)benzyl]amino]propylcarbamate,

tert-butyl (1S,2R)-3-[[4-(aminosulfonyl)benzyl]amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate,
5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-
10 hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,
15 tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-methylbenzyl)amino]propylcarbamate,
20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1R)-1-phenylethyl]amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1S)-1-phenylethyl]amino]propylcarbamate,
25 tert-butyl (1S,2R)-1-benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1S)-1-(1-naphthyl)ethyl]amino]propyl carbamate,
30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1R)-1-(1-naphthyl)ethyl]amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[(1R)-2-hydroxy-1-methylethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[(1S)-2-hydroxy-1-methylethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-3-[[2-(2-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-3-[[2-(4-bromophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(2,6-dimethoxyphenyl)ethyl]amino]-2-hydroxypropylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[(1R)-1-benzyl-2-hydroxyethyl]amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-(4-morpholinyl)ethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino] propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino] propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino] propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-2-hydroxy-1-phenylethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-2-hydroxy-1-phenylethyl}amino} propylcarbamate

15 tert-butyl (1S,2R)-1-benzyl-3-[(3-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino] propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

methyl 7-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl}amino} heptanoate,

25 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1-methyl-2-oxoethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl}amino} propylcarbamate,

30 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1,1-dimethyl-2-oxoethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-2-oxoethyl}amino} propylcarbamate,

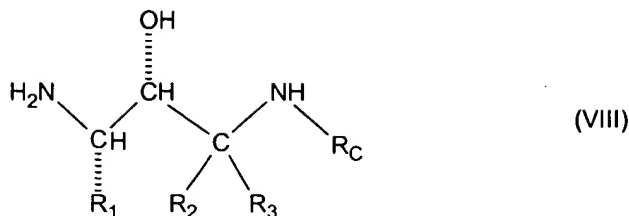
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]propyl)amino) propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-
[(isobutylamino)carbonyl]propyl)amino)propylcarbamate,
tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-
hydroxypropylcarbamate,
5 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-
hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-
(isobutylamino)propylcarbamate,
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(isobutylamino)-2-
10 methyl-3-oxopropyl}amino}propylcarbamate,
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{{4-
(dimethylamino)benzyl}amino}-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-3-{{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-
(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,
15 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-
[(isobutylamino)carbonyl]-3-methylbutyl)amino)propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-{{2-(dimethylamino)ethyl}amino}-2-
hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{3-
20 pyridinylmethyl}amino}propylcarbamate,
tert-butyl (1S,2R)-3-{{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-
oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1-methyl-1-
phenylethyl)amino}propylcarbamate,
25 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-
[(isobutylamino)carbonyl]-3-methylbutyl)amino)propylcarbamate,
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-
[(isobutylamino)carbonyl]butyl)amino)propylcarbamate,
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1S)-1-
30 (hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-
phenylethyl}amino}propylcarbamate,
tert-butyl (1S,2R)-3-{{2-(benzylamino)-1-methyl-2-oxoethyl}amino}-1-(3,5-
difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{{(1S)-2-(benzylamino)-1-methyl-2-
 oxoethyl]amino}-2-hydroxypropylcarbamate,
 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{{(1S)-2-(ethylamino)-1-methyl-2-
 oxoethyl]amino}-2-hydroxypropylcarbamate,
 5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-2-(isobutylamino)-2-oxo-1-
 phenylethyl]amino}propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,
 10 tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxypropyl)amino]propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-
 15 phenylethyl)amino]propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-3-{{(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-
 hydroxypropylcarbamate,
 dimethyl (1R,3S)-5-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-
 phenylbutyl}amino)-1,3-cyclohexanedicarboxylate,
 20 (1R,3S)-5-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-
 phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-1-
 phenylpropyl]amino}propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-
 25 hydroxypropylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-3-[[[1,1'-biphenyl]-3-ylmethyl]amino]-2-
 hydroxypropylcarbamate,
 30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 iodobenzyl)amino]propylcarbamate,
 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate,
5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propylcarbamate,
10 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropylcarbamate,
15 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[(2-furylmethyl)amino]-2-
20 hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propylcarbamate,
25 tert-butyl (1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate,
tert-butyl (1S,2R)-1-benzyl-3-[(3-bromobenzyl)amino]-2-
30 hydroxypropylcarbamate,
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propylcarbamate, and
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate.

71. An amine of the formula (VIII)



5 where R_2 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1-aryl}$ or R $_{1-heteroaryl}$;

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, or

(VI) -(CH $_2$) $_{0-4}$ - C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl;

where R_3 is:

25 (I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$ where R_{1-aryl} and $R_{1-heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds, or

5 (VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one
10 carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{N-2}$, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) C_1-C_6 alkyl optionally substituted with one
15 substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) C_1-C_6 alkyl optionally substituted with one
to three -F, -Cl, -Br, or -I,

20 (d) C_3-C_7 cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) C_2-C_6 alkenyl with one or two double
bonds,

25 (h) C_2-C_6 alkynyl with one or two triple bonds,

(i) C_1-C_6 alkyl chain with one double bond and
one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined
30 above;

where R_C is:

(I) C_1-C_{10} alkyl optionally substituted with one, two or three
substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂ R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂ NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C₁-C₄ alkyl optionally substituted with one or two -OH,,

C₁-C₄ alkoxy optionally substituted with one, two, or three of:

-F,

-(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

C₂-C₆ alkenyl containing one or two double bonds,

C₂-C₆ alkynyl containing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl} where R_{C-heteroaryl} is the same as R_{N-}

heteroaryl and R_{C-x} and R_{C-y} are as defined above,

(V) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as

defined above,

(VI) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heteroaryl} where R_{C-x} and R_{C-y} are as

defined above,

(VII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-aryl} where R_{C-x} and R_{C-y} are as

defined above,

(VIII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-heteroaryl} where R_{C-x} and R_{C-y} are as

defined above,

(IX) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heterocycle} where R_{C-x} and R_{C-y} are as

defined above,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are as defined above,

5 (XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where, R_{C-x} and R_{C-y} are as defined above,

10 (XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where, R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

15 (B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

20 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$,

30 (F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$,

(H) $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$,

(J) $-R_{C\text{-heteroaryl}}$,

(K) $-R_{C\text{-heterocycle}}$,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'\text{-aryl}}$ where R_{C-4} is $-O-$, $-S-$ or $-NR_{C-5}-$ where R_{C-5} is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

5 (N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C\text{-heteroaryl}}$ where R_{C-4} is as defined above, and

(O) $-R_{C'\text{-aryl}}$,

and where R_{C-3} is the same or different and is:

(A) $-H$,

10 (B) $-C_1-C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O-$ phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

15 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O-$ phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

20 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O-$ phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (E) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, $-O-$ phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(F) $-R_{C'\text{-aryl}}$,

(G) $-R_{C\text{-heteroaryl}}$,

(H) $-R_{C\text{-heterocycle}}$,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C'\text{-aryl}}$,

30 (J) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$, or

(K) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$,

(XVI) $-\text{CH}(R_{C\text{-aryl}})_2$ where $R_{C\text{-aryl}}$ are the same or different,

(XVII) $-\text{CH}(R_{C\text{-heteroaryl}})_2$ where $R_{C\text{-heteroaryl}}$ are the same or different,

(XVIII) $-\text{CH}(\text{R}_{\text{C-aryl}})(\text{R}_{\text{C-heteroaryl}})$,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $\text{R}_{\text{C-aryl}}$ or $\text{R}_{\text{C-heteroaryl}}$ or $\text{R}_{\text{C-heterocycle}}$ where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $\text{NR}_{\text{N-5}}$, O, or $\text{S}(=\text{O})_{0-2}$, and where cyclopentyl, cyclohexyl, or

-cycloheptyl can be optionally substituted with one or two $-\text{C}_1-\text{C}_3$ alkyl, -F, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, =O, or $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) $-(\text{CH}_2)_0-\text{CH}\text{R}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-aryl}}$ is as defined above and $\text{R}_{\text{C-6}}$ is $-(\text{CH}_2)_{0-6}-\text{OH}$,

(XXII) $-(\text{CH}_2)_{0-1}-\text{CH}\text{R}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-6}}$ is as defined above,

(XXIII) $-\text{CH}(-\text{R}_{\text{C-aryl}} \text{ or } \text{R}_{\text{C-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$,

(XXIV) $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl-NO}_2$,

(XXV) $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$,

(XXVII) $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$,

(XXVIII) -H, or

(XXIX) $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$ where R_{1-a} and R_{1-b} are as defined above; and

where R_1 is:

$-\text{CH}_2$ -phenyl where -phenyl is substituted with two -F,

$-(\text{CH}_2)_{n1}-\text{R}_{1-\text{heteroaryl}}$ or

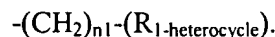
$-(\text{CH}_2)_{n1}-\text{R}_{1-\text{heterocycle}}$, and chemically acceptable salts thereof.

72. An amine of formula (VIII) according to claim 71 where R_1 is:

$-(\text{CH}_2)_{n1}-(\text{R}_{1-\text{heteroaryl}})$.

73. An amine of formula (VIII) according to claim 72 where n_1 is 1.

74. An amine of formula (VIII) according to claim 71 where R_1 is:



5

75. An amine of formula (VIII) according to claim 74 where n_1 is 1.

76. An amine of formula (VIII) according to claim 71 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

10

77. An amine of formula (VIII) according to claim 71 where R_2 and R_3 are both -H.

78. An amine of formula (VIII) according to claim 71 where R_C is:

-H,

15

-C₁-C₈ alkyl,

-(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}, or

20

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-}

heteroaryl or R_{C-heterocycle}.

79. An amine of formula (VIII) according to claim 78 where R_C is:

-C₁-C₈ alkyl,

25

-(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-}

30

heteroaryl or R_{C-heterocycle}.

80. An amine of formula (VIII) according to claim 79 where R_C is:

-C₁-C₈ alkyl,

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},

$-(\text{R}_{\text{C}-x}\text{R}_{\text{C}-y})_{0-4}\text{R}_{\text{C-heteroaryl}}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $\text{R}_{\text{C-aryl}}$ or $\text{R}_{\text{C-}}$

heteroaryl or $\text{R}_{\text{C-heterocycle}}$.

- 5 81. An amine of formula (VIII) according to claim 71 which is selected from the group consisting of:

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol,

10 (2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[[2-(4-methoxyphenyl)ethyl]amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol,

15 ethyl {(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl}amino}(phenyl)acetate,

(2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol,

(2S)-2-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-1-(4-nitrophenyl)-1,3-propanediol,

(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol,

20 (2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[[2-(2-hydroxyethoxy)ethyl]amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol,

25 (2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol,

30 (2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[[2-(1-pyrrolidinyl)ethyl]amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-isopropoxypropyl)amino]-4-phenyl-2-butanol,

- (2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol,
 (2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol,
 5 (2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol,
 (2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol,
 (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol,
 10 (2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[2-(2-pyridinyl)ethyl]amino}-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[4-(pyridinylmethyl)amino]-2-butanol,
 (2R,3S)-3-amino-1-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}-4-phenyl-2-
 15 butanol,
 (2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethoxy)benzyl]amino}-2-butanol,
 (2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethyl)benzyl]amino}-2-butanol,
 20 (2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethoxy)benzyl]amino}-2-butanol,
 4-({[(2R,3S)-3-amino-2-hydroxy-4-
 25 phenylbutyl]amino}methyl)benzenesulfonamide,
 (2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol,
 30 (2R,3S)-3-amino-1-[(3,5-dimethoxybenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol,

- (2R,3S)-3-amino-4-phenyl-1-{{3-(trifluoromethyl)benzyl}amino}-2-butanol,
(2R,3S)-3-amino-1-{{(2-methylbenzyl)amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-4-phenyl-1-{{(1R)-1-phenylethyl}amino}-2-butanol,
(2R,3S)-3-amino-4-phenyl-1-{{(1S)-1-phenylethyl}amino}-2-butanol,
5 (2R,3S)-3-amino-1-{{3,5-bis(trifluoromethyl)benzyl}amino}-4-phenyl-2-
butanol,
(2R,3S)-3-amino-4-phenyl-1-{{2-(trifluoromethyl)benzyl}amino}-2-butanol,
(2R,3S)-3-amino-1-{{(1S)-1-(1-naphthyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{(1R)-1-(1-naphthyl)ethyl}amino}-4-phenyl-2-butanol,
10 4-{{(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl}amino}methyl)-2-
methoxyphenol,
4-{{(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl}amino}methyl)-1,2-
benzenediol,
(2R,3S)-3-amino-1-{{(3-methoxypropyl)amino}-4-phenyl-2-butanol,
15 (2R,3S)-3-amino-1-{{(1R)-2-hydroxy-1-methylethyl}amino}-4-phenyl-2-
butanol,
(2R,3S)-3-amino-1-{{(1S)-2-hydroxy-1-methylethyl}amino}-4-phenyl-2-
butanol,
(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol,
20 (2R,3S)-3-amino-1-{{2-(2-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(3-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(4-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(4-bromophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(3-methoxyphenyl)ethyl}amino}-4-phenyl-2-butanol,
25 (2R,3S)-3-amino-1-{{2-(2,4-dichlorophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(3-chlorophenyl)ethyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(2,5-dimethoxyphenyl)ethyl}amino}-4-phenyl-2-
butanol,
(2R,3S)-3-amino-1-{{2-(4-methylphenyl)ethyl}amino}-4-phenyl-2-butanol,
30 (2R,3S)-3-amino-1-{{(1R)-1-benzyl-2-hydroxyethyl}amino}-4-phenyl-2-
butanol,
(2R,3S)-3-amino-1-{{3-(4-morpholinyl)propyl}amino}-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol,
(2R,3S)-3-amino-1-{{2-(4-morpholinyl)ethyl}amino}-4-phenyl-2-butanol,

- (2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol,
 (2R,3S)-3-amino-4-phenyl-1-[[2-(2-thienyl)ethyl]amino]-2-butanol,
 4-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-1-butanol,
 (2R,3S)-3-amino-1-[[[(1S)-2-hydroxy-1-phenylethyl]amino]-4-phenyl-2-
 5 butanol,
 (2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,
 (2R,3S)-3-amino-1-[[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-phenyl-2-
 butanol,
 (2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol,
 10 (2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol,
 (1R,2S)-1-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-2,3-dihydro-
 1H-inden-2-ol,
 (2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol,
 methyl 7-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
 15 hydroxybutyl]amino]heptanoate,
 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutylpropanamide,
 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutylpropanamide,
 20 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutyl-2-methylpropanamide,
 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutylacetamide,
 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 25 isobutylbutanamide,
 (2R)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutylbutanamide,
 (2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol,
 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol,
 30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol,
 3-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-
 isobutyl-2-methylpropanamide,
 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[4-
 (dimethylamino)benzyl]amino]-2-butanol ,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[2-(dimethylamino)ethyl]amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol,

10 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol,

(2R)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

15 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-hydroxy-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol,

20 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[[(1S)-1-phenylpropyl]amino]-2-butanol,

25 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide,

30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-butanol,

5 dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

10 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

15 (2R,3S)-3-amino-1-[[[1,1'-biphenyl]-3-ylmethyl]amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol,

20 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol,

25 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol,

30 (2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{{3-(trifluoromethyl)benzyl}amino}-2-butanol,

5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{{3-(trifluoromethoxy)benzyl}amino}-2-butanol ,

10 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol,

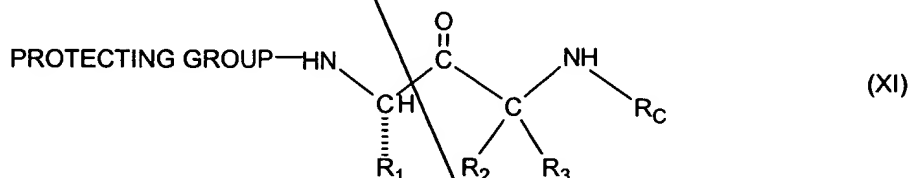
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol.

~~(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol.~~

15 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-
2-butanol, and

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol.

20 82. A protected ketone of formula (XI)



where R_2 is:

(I)-H,

25 (II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) $-(\text{CH}_2)_{0-4}-\text{R}_{2-1}$ where R_{2-1} is $\text{R}_{1-\text{aryl}}$ or $\text{R}_{1-\text{heteroaryl}}$;

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

to three -F, -Cl, -Br, or -I,

(ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double

bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and

one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

above;

where R_C is:

(I) -C₁-C₁₀ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C(=O)NR_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C₁-C₄ alkyl optionally substituted with one or two -OH,

C₁-C₄ alkoxy optionally substituted with one, two, or three of:

-F,

-(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

C₂-C₆ alkenyl containing one or two double bonds,

C₂-C₆ alkynyl containing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ where R_{C-x} and R_{C-y} are as defined above,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are as defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-x} and R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are as defined above,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where R_{C-x} and R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-x} and R_{C-y} are as defined above,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(C) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (D) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(E) -(CH₂)₁₋₂-S(O)₀₋₂-(C₁-C₆ alkyl),

10 (F) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(G) -(C₁-C₄ alkyl)-R_{C'-aryl} where R_{C'-aryl},

15 (H) -(C₁-C₄ alkyl)-R_{C-heteroaryl},

(I) -(C₁-C₄ alkyl)-R_{C-heterocycle},

(J) -R_{C-heteroaryl},

(K) -R_{C-heterocycle},

20 (M) -(CH₂)₁₋₄-R_{C-4}-(CH₂)₀₋₄-R_{C'-aryl} where R_{C-4} is -O-, -S- or -NR_{C-5}- where R_{C-5} is C₁-C₆ alkyl,

(N) -(CH₂)₁₋₄-R_{C-4}-(CH₂)₀₋₄-R_{C-heteroaryl} where R_{C-4} is as defined above, and

(O) -R_{C'-aryl},

and where R_{C-3} is the same or different and is:

25 (A) -H,

(B) -C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (C) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(D) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (E) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(F) -R_{C-aryl},

10 (G) -R_{C-heteroaryl},

(H) -R_{C-heterocycle},

(I) -(C₁-C₄ alkyl)-R_{C-aryl},

(J) -(C₁-C₄ alkyl)-R_{C-heteroaryl}, or

(K) -(C₁-C₄ alkyl)-R_{C-heterocycle},

15 (XVI) -CH(R_{C-aryl})₂ where R_{C-aryl} are the same or different,

(XVII) -CH(R_{C-heteroaryl})₂ where R_{C-heteroaryl} are the same or different,

(XVIII) -CH(R_{C-aryl})(R_{C-heteroaryl}),

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle} where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl
20 is optionally replaced with NH, NR_{N-5}, O, or S(=O)₀₋₂, and where cyclopentyl, cyclohexyl, or

-cycloheptyl can be optionally substituted with one or two -C₁-C₃ alkyl, -F, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, =O, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XX) C₂-C₁₀ alkenyl containing one or two double bonds optionally
25 substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XXI) C₂-C₁₀ alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of
30 C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XXI) -(CH₂)₀₋₁-CHR_{C-6}-(CH₂)₀₋₁-R_{C-aryl} R_{C-6} is -(CH₂)₀₋₆-OH,

(XXII) $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteroaryl}$ and R_{C-6} is as defined above,

(XXIII) $-CH(-R_{C-aryl} \text{ or } R_{C-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$,

(XXIV) $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$,

5 (XXV) $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) $-H$, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above, and

10 where R_1 is:

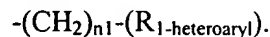
$-CH_2-phenyl$ where $-phenyl$ is substituted with two $-F$,

$-(CH_2)_{n1}-R_{1-heteroaryl}$, or

$-(CH_2)_{n1}-R_{1-heterocycle}$,

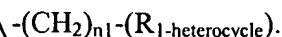
where PROTECTING GROUP is selected from the group consisting of *t*-
 15 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-
 20 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
 25 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
 30 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, $-CH-CH=CH_2$ and $phenyl-C(=N-)-H$.

83. A protected ketone of formula (XI) according to claim 82 where R_1 is:



84. A protected ketone of formula (XI) according to claim 83 where n_1 is 1.

85. A protected ketone of formula (XI) according to claim 82 where R_1 is:



86. A protected ketone of formula (XI) according to claim 85 where n_1 is 1.

87. A protected ketone of formula (XI) according to claim 82 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

88. A protected ketone of formula (XI) according to claim 82 where R_2 and R_3 are both -H.

89. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is *t*-butoxycarbonyl.

90. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is benzyloxycarbonyl.

91. A protected ketone of formula (XI) according to claim 82 where R_C is:

-H,

- C_1 - C_8 alkyl,

-(CH_2)₀₋₃-(C_3 - C_7) cycloalkyl,

-($CR_{C-x}R_{C-y}$)₀₋₄- $R_{C\text{-aryl}}$,

-($CR_{C-x}R_{C-y}$)₀₋₄- $R_{C\text{-heteroaryl}}$,

-($CR_{C-x}R_{C-y}$)₀₋₄- $R_{C\text{-heterocycle}}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above.

92. A protected ketone of formula (XI) according to claim 91 where R_C is:

- C_1 - C_8 alkyl,

-(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}, or

5 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.

93. A protected ketone of formula (XI) according to claim 92 where R_C is:

-C₁-C₈ alkyl,

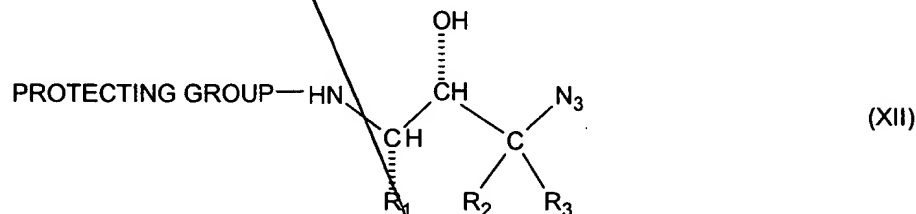
10 -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl},

-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl},

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.

15 94. A protected ketone of formula (XI) according to claim 82 which is *tert*-butyl (1*S*)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate.

95. A protected azide of formula (XII)



20

where R₁ is:

(I) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, C₁-C₇ alkyl (optionally substituted with C₁-C₃ alkyl and C₁-C₃ alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

30 (III) -CH₂-CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5 (V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is
10 phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C≡N, -CF₃, C₁-C₃
15 alkoxy,

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
20 H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
25 H or C₁-C₆ alkyl,

25 (D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three
of: -F,

(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

30 (I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO₂-(C₁-C₄ alkyl),

5 (VII) -(CH₂)_{n₁}-(R_{1-heteroaryl}) where n₁ is as defined above and where

R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

10 benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

15 isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

20 imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

25 indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

30 furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,

13615.25USU4

5
10
15
20
25
30

benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,

pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) $-C_1-C_6$ alkoxy optionally substituted with one, two, or three of: -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

to three -F, -Cl, -Br, or -I,

(c) -C₁-C₆ alkyl optionally substituted with one

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

above,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

5 piperidinyl,
 tetrahydrofuranyl,
 tetrahydrothienyl,
 homopiperidinyl,
 homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide, and
 oxazolidinonyl,
 dihydropyrazolyl
 10 dihydropyrrolyl
 dihydropyrazinyl
 dihydropyridinyl
 dihydropyrimidinyl
 dihydrofuryl
 15 dihydropyranyl
 tetrahydrothienyl S-oxide
 tetrahydrothienyl S,S-dioxide
 homothiomorpholinyl S-oxide

20 where the $R_{1-\text{heterocycle}}$ group is bonded by any atom of the
 parent $R_{1-\text{heterocycle}}$ group substituted by hydrogen such that the new bond to the
 $R_{1-\text{heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is
 optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or
 three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I,
 25 -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as
 defined above,

(2) C_2-C_6 alkenyl with one or two double bonds,
 optionally substituted with one, two or three substituents selected from the group
 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where
 30 R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds,
 optionally substituted with one, two or three substituents selected from the group
 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where
 R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(5) C₁-C₆ alkoxy,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three of -F,

5 (7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined above,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

15 (13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(14) -SO₂-(C₁-C₄ alkyl), or

(15) =O, with the proviso that when n₁ is zero

R_{1-heterocycle} is not bonded to the carbon chain by nitrogen;

20 where R₂ is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

25 above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

5 (VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,

10 (II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and
15 R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two
20 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} is as defined above,

25 where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,
30 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-

- (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-
- 5 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl,
- 10 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH₂ and phenyl-C(=N)-H.

96. A protected azide of formula (XII) according to claim 95 where R₁ is:

- CH₂-(R_{1-aryl}), or
- 15 -CH₂-(R_{1-heteroaryl}).

97. A protected azide of formula (XII) according to claim 96 where R_{1-aryl} is phenyl.

98. A protected azide of formula (XII) according to claim 97 where phenyl is

20 substituted with one, two or three -F, -Cl, -Br or -I.

99. A protected azide of formula (XII) according to claim 98 where phenyl is substituted with one or two -F.

25 100. A protected azide of formula (XII) according to claim 99 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

101. A protected azide of formula (XII) according to claim 95 where R₂ and R₃ are both -H.

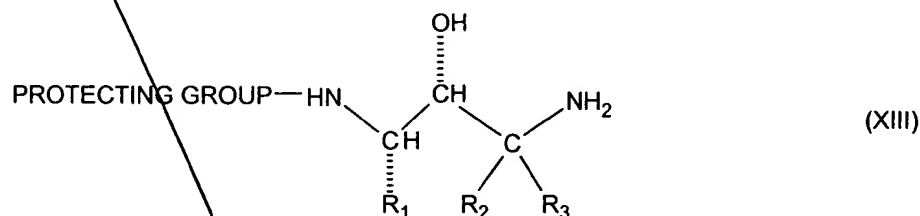
30 102. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is *t*-butoxycarbonyl.

103. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is benzyloxycarbonyl.

104. A protected azide of formula (XII) according to claim 95 which is:

- 5 tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate, or
benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

105. A protected amine of formula (XIII)



where R_2 is:

(I)-H,

15 (II) $\text{C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, $\text{C}_1\text{-C}_3$ alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or $\text{C}_1\text{-C}_6$ alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(III) -(CH $_2$) $_{0-4}$ -R $_{2-1}$ where R $_{2-1}$ is R $_{1-aryl}$ or R $_{1-heteroaryl}$;

20 (IV) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, $\text{C}_1\text{-C}_3$ alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or $\text{C}_1\text{-C}_6$ alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, $\text{C}_1\text{-C}_3$ alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or $\text{C}_1\text{-C}_6$ alkyl,

25 (V) $\text{C}_2\text{-C}_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, $\text{C}_1\text{-C}_3$ alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or $\text{C}_1\text{-C}_6$ alkyl, or

30 (VI) -(CH $_2$) $_{0-4}$ - $\text{C}_3\text{-C}_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, $\text{C}_1\text{-C}_3$ alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or $\text{C}_1\text{-C}_6$ alkyl;

where R_3 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

(IV) C_2 - C_6 alkenyl with one or two double bonds,

(V) C_2 - C_6 alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄- C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) C_1 - C_6 alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH₂,

(c) C_1 - C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) C_3 - C_7 cycloalkyl,

(e) -(C_1 - C_2 alkyl)-(C_3 - C_7 cycloalkyl),

(f) -(C_1 - C_6 alkyl)-O-(C_1 - C_3 alkyl),

(g) C_2 - C_6 alkenyl with one or two double bonds,

(h) C_2 - C_6 alkynyl with one or two triple bonds,

(i) C_1 - C_6 alkyl chain with one double bond and one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) $-R_1$ -heteroaryl where R_1 -heteroaryl is as defined

above;

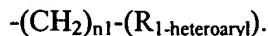
where PROTECTING GROUP is selected from the group consisting of

- t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,
 5 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-
 phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl,
 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,
 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-
 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-
 10 cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-
 yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-
 15 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,
 20 cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl,
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -
 CH-CH=CH₂ and phenyl-C(=N-)-H; and

where R_1 is:

- CH₂-phenyl where -phenyl is substituted with two -F,
 25 -(CH₂)_{n1}- R_1 -heteroaryl,
 -(CH₂)_{n1}- R_1 -heterocycle.

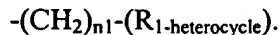
106. A protected amine of formula (XIII) according to claim 105 where R_1 is:



30

107. A protected amine of formula (XIII) according to claim 106 where n_1 is 1.

108. A protected amine of formula (XIII) according to claim 105 where R_1 is:



109. A protected amine of formula (XIII) according to claim 108 where n_1 is 1.

110. A protected amine of formula (XIII) according to claim 105 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

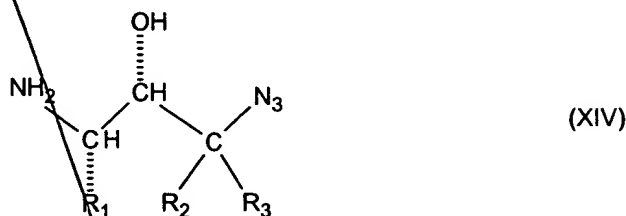
111. A protected amine of formula (XIII) according to claim 105 where R_2 and R_3 are both -H.

112. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is *t*-butoxycarbonyl.

113. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is benzyloxycarbonyl.

114. A protected amine of formula (XIII) according to claim 105 which is *tert*-butyl (1*S*,2*R*)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

115. An unprotected azide of formula (XIV)



where R_1 is:

(I) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, C_1 - C_7 alkyl (optionally substituted with C_1 - C_3 alkyl and C_1 - C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, -NR $_{1-a}$ R $_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -CH $_2$ -S(O) $_{0-2}$ -(C_1 - C_6 alkyl),

(III) $-\text{CH}_2-\text{CH}_2-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{aryl}})$ where n_1 is zero or one and where $\text{R}_{1-\text{aryl}}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) $-\text{C}_1-\text{C}_6$ alkoxy optionally substituted with one, two, or three of: -F,

(G) $-\text{NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-\text{C}_1-\text{C}_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

to three -F, -Cl, -Br, or -I,
 5
 bonds,
 10
 one triple bond,
 15
 above,

(i) -OH, and
 (ii) -NH₂,
 (c) -C₁-C₆ alkyl optionally substituted with one
 (d) -C₃-C₇ cycloalkyl,
 (e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),
 (f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),
 (g) -C₂-C₆ alkenyl with one or two double
 (h) -C₂-C₆ alkynyl with one or two triple bonds,
 (i) -C₁-C₆ alkyl chain with one double bond and
 (j) -R_{1-aryl} where R_{1-aryl} is as defined above, and
 (k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

(H) -OH,
 (I) -C≡N,
 (J) C₃-C₇ cycloalkyl, optionally substituted with one, two or
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,
 20 -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
 (K) -CO-(C₁-C₄ alkyl),
 (L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,
 (M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or
 (N) -SO₂-(C₁-C₄ alkyl),

25
 (VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where
 R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,
 pyrimidinyl,
 quinolinyl,
 30
 benzothienyl,
 indolyl,
 indolinyl,
 pyridazinyl,
 pyrazinyl,

T 0 6 9 3 0 " 6 E F 9 3 6 0

5
10
15
20
25
30

isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxaliny,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indoliziny,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanly,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanly,
chromanly,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranly,

T 0 6 3 0 " 6 2 3 0 0 0

5 isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
10 triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
15 imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenziso-thiazinyl,
20 benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
25 chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
30 dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl

10

15

20

25

30

pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,

indolyl N-oxide,
indolyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalyl N-oxide,
phthalazinyl N-oxide,

imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,

indolizinyln-oxide,
indazolyl N-oxide,

benzothiazolyl N-oxide,
benzimidazolyl N-oxide,

pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide, and
benzothiopyranyl S,S-dioxide,

where the R_{1-heteroaryl} group is bonded to -(CH₂)_{n1}- by any ring atom of the parent R_{1-heteroaryl} group substituted by hydrogen such that the new bond to the R_{1-heteroaryl} group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(2) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of
5 -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(3) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of
10 -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, Cl, -Br or -I,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three
of: -F,

(7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined above,

(8) -OH,

(9) -C≡N

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or
three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,
-CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

T 0 6 9 9 0 " 6 E F 9 6 6 0

pyrrolinyl,
 tetrahydropyranyl,
 piperidinyl,
 tetrahydrofuranyl,
 tetrahydrothienyl,
 homopiperidinyl,
 homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide,
 oxazolidinonyl,
 dihydropyrazolyl,
 dihydropyrrolyl,
 dihydropyrazinyl,
 dihydropyridinyl,
 dihydropyrimidinyl,
 dihydrofuryl,
 dihydropyranyl,
 tetrahydrothienyl S-oxide,
 tetrahydrothienyl S,S-dioxide, and
 homothiomorpholinyl S-oxide
 where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the
 parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the
 new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom
 and its bond, where heterocycle is optionally substituted with
 one, two, three, or four.

(1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or
 three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I,
 -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as
 defined above,

(2) $C_2\text{-}C_6$ alkenyl with one or two double bonds,
 optionally substituted with one, two or three substituents selected from the group
 consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where
 R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

(3) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5 (4) -F, Cl, -Br or -I,

(5) C₁-C₆ alkoxy,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three of -F,

10 (7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

15 (11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(14) -SO₂-(C₁-C₄ alkyl), or

(15) =O, with the proviso that when n₁ is zero

R_{1-heterocycle} is not bonded to the carbon chain by nitrogen;

where R₂ is:

25 (I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -

H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} is as defined above;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-

yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
 methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-
 5 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,
 10 cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl,
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -
 CH-CH=CH₂ and phenyl-C(=N-)-H.

116. An unprotected azide of formula (XIV) according to claim 115 where R₁ is:

- 15 -CH₂-(R_{1-aryl}), or
 -CH₂-(R_{1-heteroaryl}).

117. An unprotected azide of formula (XIV) according to claim 116 where R_{1-aryl} is
 20 phenyl.

118. An unprotected azide of formula (XIV) according to claim 117 where phenyl is
 25 substituted with one, two or three -F, -Cl, -Br or -I.

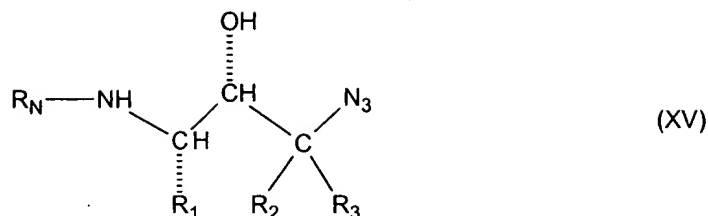
119. An unprotected azide of formula (XIV) according to claim 118 where phenyl is
 25 substituted with one or two -F.

120. An unprotected azide of formula (XIV) according to claim 119 where phenyl is
 substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

30 121. An unprotected azide of formula (XIV) according to claim 1115 where R₂ and R₃
 are both -H.

122. An unprotected azide of formula (XIV) according to claim 115 which is
 (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol.

128. An azide of formula (XV)



where R_1 is:

(I) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, C_1 - C_7 alkyl (optionally substituted with C_1 - C_3 alkyl and C_1 - C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,

(II) -CH $_2$ -S(O) $_{0-2}$ -(C_1 - C_6 alkyl),

(III) -CH $_2$ -CH $_2$ -S(O) $_{0-2}$ -(C_1 - C_6 alkyl),

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,

(VI) -(CH $_2$) $_{n_1}$ -(R $_{1-aryl}$) where n_1 is zero or one and where R $_{1-aryl}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1 - C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy,

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n₁}-(R_{1-heteroaryl}) where n₁ is as defined above and where R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

T 0 3 3 0 " 6 2 9 6 6 0

5
10
15
20
25
30

quinazolinyI,
quinoxalinyI,
phthalazinyI,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyI,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyI,
imidazopyridinyI,
isothiazolyl,
naphthyridinyI,
cinnolinyI,
carbazolyl,
beta-carbolinyI,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyI,
isoindolinyI,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,

T0630: C01B30

5
10
15
20
25
30

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoaxazinyl,
benzisoaxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

5 pyrimidinyl N-oxide,
 pyridazinyl N-oxide,
 pyrazinyl N-oxide,
 quinolinyl N-oxide,
 indolyl N-oxide,
 indolinyl N-oxide,
 isoquinolyl N-oxide,
 quinazolinyl N-oxide,
 quinoxalinyl N-oxide,
 10 phthalazinyl N-oxide,
 imidazolyl N-oxide,
 isoxazolyl N-oxide,
 oxazolyl N-oxide,
 thiazolyl N-oxide,
 15 indoliziny N-oxide,
 indazolyl N-oxide,
 benzothiazolyl N-oxide,
 benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 20 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 25 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

30 (1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(3) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, Cl, -Br or -I,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

15

20

25

30

532

or three of -F,
 (4) -F, Cl, -Br or -I,
 (5) C₁-C₆ alkoxy,
 (6) -C₁-C₆ alkoxy optionally substituted with one, two,

5 below,
 (7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined

(8) -OH,
 (9) -C≡N,
 (10) C₃-C₇ cycloalkyl, optionally substituted with one,
 10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,
 -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),
 (12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined
 above,

15 above,
 (13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

(14) ~~SO₂-(C₁-C₄ alkyl), or~~

(15) ~~=O, with the proviso that when n₁ is zero~~

R_{1-heterocycle} is not bonded to the carbon chain by nitrogen;

20 where R₂ is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three
 substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,
 -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

25 above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and
 R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 30 -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -
 H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where
 R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

5 (VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,

10 (II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and
15 R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
20 and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} is as defined below;

25 where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO₂-,

(C) -(CR'R'')₁₋₆ where R' and R'' are the same or different and
30 are -H or C₁-C₄ alkyl,

(D) -CO-(CR'R'')₁₋₆-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) $-CO-OH$,

(6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) $-C_2-C_6$ alkenyl with one or two double bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,
 (9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$,

5 three triple bonds),
 (10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,
 (12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined
 above,

10 (13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as
 defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as
 defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the
 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,
 15 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,
 homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is
 optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from
 the group consisting of:

20 (a) C_1-C_6 alkyl,
 (b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined
 above,

(c) C_2-C_6 alkenyl containing one or two double
 bonds,

25 (d) C_2-C_6 alkynyl containing one or two triple
 bonds,

(e) C_3-C_7 cycloalkyl, and
 (f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as
 defined above,

30 (17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are
 as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N}-5})-\text{CO}-\text{O}-\text{R}_{\text{N}-5}$ where $\text{R}_{\text{N}-5}$ can be the same or different and is as defined above,

(22) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N}-5})-\text{CO}-\text{N}(\text{R}_{\text{N}-5})_2$, where $\text{R}_{\text{N}-5}$ can be the same or different and is as defined above,

5 (23) $-(\text{CH}_2)_{0-4}-\text{N}-\text{CS}-\text{N}(\text{R}_{\text{N}-5})_2$, where $\text{R}_{\text{N}-5}$ can be the same or different and is as defined above,

(24) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or } \text{R}_{\text{N}-5})-\text{CO}-\text{R}_{\text{N}-2}$ where $\text{R}_{\text{N}-5}$ and $\text{R}_{\text{N}-2}$ can be the same or different and are as defined above,

10 (25) $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ can be the same or different and are as defined above,

(26) $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(27) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(28) $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$ where $\text{R}_{\text{N-aryl-1}}$ is -H or C_1-C_4 alkyl,

15 (29) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N}-5})_2$ where $\text{R}_{\text{N}-5}$ is as defined above,

(30) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CS}-\text{N}(\text{R}_{\text{N}-5})_2$ where $\text{R}_{\text{N}-5}$ is as defined above,

(31) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N}-5})_2$ where $\text{R}_{\text{N}-5}$ is as defined above,

20 (32) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N}-5})_2-\text{COOH}$ where $\text{R}_{\text{N}-5}$ is as defined above,

(33) $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N}-5})_2$ where $\text{R}_{\text{N}-5}$ is as defined above,

(34) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$,

25 (35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, or $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

30 (37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, or $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or } \text{R}_{\text{N}-5})-\text{SO}_2-\text{R}_{\text{N}-2}$ where $\text{R}_{\text{N}-5}$ and $\text{R}_{\text{N}-2}$ can be the same or different and are as described above, or

(39) $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$ cycloalkyl,

(B) -R_{N-heteroaryl} where R_{N-heteroaryl} is selected from the group

consisting of:

- 5 pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
10 pyridazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
15 phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
20 thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
25 benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
30 thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,

5 isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
10 isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
15 benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
20 phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
25 imidazothiazolyl,
dihydrobenzisoquinyl,
benzisoquinyl,
benzoxazinyl,
dihydrobenzothiazinyl,
30 benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,

P. 03630 "C. 03630"

5

chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl

10

benzodioxanyl
benzoxazolinonyl

15

pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,

20

isoquinolyl N-oxide,
quinazoliny N-oxide,
quinoxaliny N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,

25

oxazolyl N-oxide,
thiazolyl N-oxide,
indoliziny N-oxide,
indazolyl N-oxide,

30

benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of

5 the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-\text{NO}_2$,

(4) -F, -Cl, -Br, or -I

15 (5) $-\text{CO-OH}$,

(6) $-C\equiv N$,

(7) $-(\text{CH}_2)_{0-4}\text{-CO-NR}_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

20 (b) $-C_1\text{-}C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) $-\text{NH}_2$,

25 (c) $-C_1\text{-}C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) $-C_3\text{-}C_7$ cycloalkyl,

(e) $-(C_1\text{-}C_2 \text{ alkyl})\text{-(}C_3\text{-}C_7 \text{ cycloalkyl)}$,

(f) $-(C_1\text{-}C_6 \text{ alkyl})\text{-O-(}C_1\text{-}C_3 \text{ alkyl)}$,

30 (g) $-C_2\text{-}C_6$ alkenyl with one or two double bonds,

(h) $-C_2\text{-}C_6$ alkynyl with one or two triple bonds,

(i) $-C_1\text{-}C_6$ alkyl chain with one double bond and

one triple bond,

(j) $-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) $-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined

above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

5 double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined

10 above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as

defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as

defined above,

15

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide,

homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

20

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from

the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is as defined

above,

25

(c) C_2-C_6 alkenyl containing one or two double

bonds,

(d) C_2-C_6 alkynyl containing one or two triple

bonds,

(e) C_3-C_7 cycloalkyl,

30

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as

defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are

as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(21) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or R}_{\text{N-5}})-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$ where $\text{R}_{\text{N-5}}$ is

5

(b) $-(\text{CH}_2)_{0-2}-(\text{R}_{1\text{-aryl}})$ where $\text{R}_{1\text{-aryl}}$ is as defined

(c) C₂-C₆ alkenyl containing one or two double

10

(d) C₂-C₆ alkynyl containing one or two triple

(e) C₃-C₇ cycloalkyl, and

(f) $-(\text{CH}_2)_{0-2}-(\text{R}_{1\text{-heteroaryl}})$ where $\text{R}_{1\text{-heteroaryl}}$ is as

15

(22) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$, where $\text{R}_{\text{N-5}}$

can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the

same or different and is as defined above.

(24) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or R}_{\text{N-5}})-\text{CO}-\text{R}_{\text{N-2}}$ where $\text{R}_{\text{N-5}}$ and

20

R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the

same or different and are as defined above,

(26) $-(\text{CH}_2)_{0-4}-\text{R}_{N-4}$ where R_{N-4} is as defined above,

(27) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

25

(28) $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$ where $\text{R}_{\text{N-aryl-1}}$ is -H

or C₁-C₄ alkyl,

(29) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined

above,

(30) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined

30

above,

(31) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,

(32) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$ where $\text{R}_{\text{N-5}}$ is as

defined above,

(33) $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F),$

(35) $C_3-C_7 \text{ cycloalkyl},$

(36) $C_2-C_6 \text{ alkenyl with one or two double bonds}$

5 optionally substituted with $C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$

(37) $C_2-C_6 \text{ alkynyl with one or two triple bonds}$

optionally substituted with $C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above, or}$

10 (38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2} \text{ where } R_{N-5} \text{ and } R_{N-2} \text{ can be the same or different and are as described above, or}$

(39) $-(CH_2)_{0-4}-C_3-C_7 \text{ cycloalkyl},$

(C) $R_{N-aryl}-W-R_{N-aryl},$ where R_{N-aryl} is defined as above,

(D) $R_{N-aryl}-W-R_{N-heteroaryl},$ where R_{N-aryl} and $R_{N-heteroaryl}$ are as
15 defined above,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle},$ where $R_{N-heterocycle}$ is defined as
 $R_{1-heterocycle},$ is defined above,

(F) $R_{N-heteroaryl}-W-R_{N-aryl},$ where R_{N-aryl} and $R_{N-heteroaryl}$ are as
defined above,

20 (G) $R_{N-heteroaryl}-W-R_{N-heteroaryl},$ where $R_{N-heteroaryl}$ is as defined
above,

(H) $R_{N-heteroaryl}-W-R_{N-1-heterocycle},$ where $R_{N-1-heterocycle}$ is as
defined as $R_{1-heterocycle}$ is as defined above, and where $R_{N-heteroaryl}$ is as defined above,

(I) $R_{N-heterocycle}-W-R_{N-aryl},$ where $R_{N-heterocycle}$ is as defined as R_{1-}
25 heterocycle is defined and where R_{N-aryl} are as defined above,

(J) $R_{N-heterocycle}-W-R_{N-heteroaryl},$ where $R_{N-heterocycle}$ is as defined as
 $R_{1-heterocycle}$ as defined above and $R_{N-heteroaryl}$ are as defined above, and

(K) $R_{N-heterocycle}-W-R_{N-1-heterocycle},$ where $R_{N-heterocycle}$ and R_{N-}
heteroaryl are as defined above,

30 where W is

(6) $-(CH_2)_{0-4}-,$

(7) $-O-,$

(8) $-S(O)_{0-2}-,$

(9) $-N(R_{N-5})-$ where R_{N-5} is as defined above, or

(10) -CO-₁

(II) -CO-(C₁-C₁₀ alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- 5 (A) -OH,
 (B) -C₁-C₆ alkoxy,
 (C) -C₁-C₆ thioalkoxy,
 (D) -CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -phenyl,
 (E) -CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or
 different and are as defined above,
 10 (F) -CO-R_{N-4} where R_{N-4} is as defined above,
 (G) -SO₂-(C₁-C₈ alkyl),
 (H) -SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or
 different and are as defined above,
 (I) -NH-CO-(C₁-C₆ alkyl),
 15 (J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,
 (K) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different
 and are as defined above,
 (L) -R_{N-4} where R_{N-4} is as defined above,
 (M) -O-CO-(C₁-C₆ alkyl),
 20 (N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and
 are as defined above,
 (O) -O-(C₁-C₅ alkyl)-COOH,
 (P) -O-(C₁-C₆ alkyl) optionally substituted with one, two, or
 three of: -F, -Cl, -Br, or -I),
 25 (Q) -NH-SO₂-(C₁-C₆ alkyl), and
 (R) -F, or -Cl

(III) -CO-(C₁-C₆ alkyl)-O-(C₁-C₆ alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- 30 (A) -OH,
 (B) -C₁-C₆ alkoxy,
 (C) -C₁-C₆ thioalkoxy,
 (D) -CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -phenyl,
 (E) -CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or
 different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

5 (I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

(K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

10 (M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where the $\text{R}_{\text{N-8}}$ s are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

(P) $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

15 (Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(R) -F, -Cl,

(IV) $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{S}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

20 (A) -OH,

(B) $-\text{C}_1-\text{C}_6 \text{ alkoxy}$,

(C) $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$,

(D) $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

(E) $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

30 (I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

(K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) -O-CO-(C₁-C₆ alkyl),
 (N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and
 are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,
 5 (P) -O-(C₁-C₆ alkyl) optionally substituted with one, two, or
 three of: -F, -Cl, -Br, -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-(CH₂)₀₋₂-O-R_{N-10})-(CH₂)₀₋₂-R_{N-aryl}/R_{N-heteroaryl}) where R<sub>N-
 10 aryl and R_{N-heteroaryl} are as defined above, where R_{N-10} is selected from the group
 consisting of:</sub>

(A) -H,

(B) C₁-C₆ alkyl,

(C) C₃-C₇ cycloalkyl,

15 (D) C₂-C₆ alkenyl with one double bond,

(E) C₂-C₆ alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above, and

(G) R_{N-heteroaryl} where R_{N-heteroaryl} is as defined above, or

(VI) -CO-(C₃-C₈ cycloalkyl) where alkyl is optionally substituted with
 20 one or two substituents selected from the group consisting of:

(A) -(CH₂)₀₋₄-OH,

(B) -(CH₂)₀₋₄-C₁-C₆ alkoxy,

(C) -(CH₂)₀₋₄-C₁-C₆ thioalkoxy,

(D) -(CH₂)₀₋₄-CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -
 25 phenyl,

(E) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same
 or different and are as defined above,

(F) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -(CH₂)₀₋₄-SO₂-(C₁-C₈ alkyl),

30 (H) -(CH₂)₀₋₄-SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same
 or different and are as defined above,

(I) -(CH₂)₀₋₄-NH-CO-(C₁-C₆ alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) $\text{-(CH}_2\text{)}_{0-4}\text{-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $\text{-(CH}_2\text{)}_{0-4}\text{-R}_{N-4}$ where R_{N-4} is as defined above,

5 (M) $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$,

(N) $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$,

10 (P) $\text{-O-(C}_1\text{-C}_6\text{ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I)}$,

(Q) $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$, and

(R) -F, or -Cl .

124. An azide of formula (XV) according to claim 123 where R_1 is:

15 $\text{-CH}_2\text{-(R}_{1\text{-aryl}}\text{)}, \text{ or}$

$\text{-CH}_2\text{-(R}_{1\text{-heteroaryl}}\text{)}$.

125. An azide of formula (XV) according to claim 124 where $R_{1\text{-aryl}}$ is phenyl.

20 126. An azide of formula (XV) according to claim 125 where phenyl is substituted with one, two or three $\text{-F, -Cl, -Br or -I}$.

127. An azide of formula (XV) according to claim 126 where phenyl is substituted with one or two -F .

25 128. An azide of formula (XV) according to claim 127 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

129. An azide of formula (XV) according to claim 123 where R_2 and R_3 are both -H .

30 130. An azide of formula (XV) according to claim 123 where R_N is:

$\text{R}_{N-1}\text{-X}_N\text{-}$ where X_N is selected from the group consisting of:

-CO- , and

-SO₂-,

where R_{N-1} is selected from the group consisting of:

R_{N-aryl}, and

-R_{N-heteroaryl}.

5

131. An azide of formula (XV) according to claim 130 where R_N is:

R_{N-1}-X_N- where X_N is selected from the group consisting of:

-CO-,

where R_{N-1} is selected from the group consisting of:

10

R_{N-aryl}

-R_{N-heteroaryl}.

132. An azide of formula (XV) according to claim 131 where R_N is:

(a) R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl

15

substituted with one -CO-NR_{N-2}R_{N-3} where the substitution on phenyl is 1,3- and

where R_{N-2} and R_{N-3} are the same and are C₃ alkyl, or

(b) R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl

substituted with one C₁ alkyl and with one -CO-NR_{N-2}R_{N-3} where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C₃ alkyl.

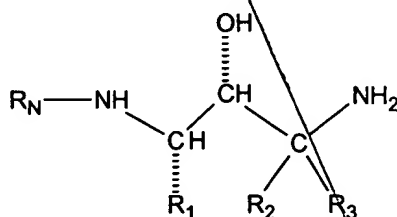
20

133. An azide of formula (XV) according to claim 123 which is

N¹-(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N³,N³-dipropylisophthalamide.

25

134. A free amine of formula (XVI)



(XVI)

where R₂ is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC(=O)NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, or

15 (VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl;

where R₃ is:

(I)-H,
20 (II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl};

25 (IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
30 and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

- (a) -H,
- (b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:
- (i) -OH, and
- (ii) -NH₂,
- (c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- (d) -C₃-C₇ cycloalkyl,
- (e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),
- (f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),
- (g) -C₂-C₆ alkenyl with one or two double bonds,
- (h) -C₂-C₆ alkynyl with one or two triple bonds,
- (i) -C₁-C₆ alkyl chain with one double bond and one triple bond,
- (j) -R_{1-aryl} where R_{1-aryl} is as defined above, and
- (k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined above,

where R_N is:

- (I) R_{N-1}-X_N- where X_N is selected from the group consisting of:
- (A) -CO-,
- (B) -SO₂-,
- (C) -(CR'R'')₁₋₆ where R' and R'' are the same or different and are -H or C₁-C₄ alkyl,
- (D) -CO-(CR'R'')₁₋₆-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and
- (E) a single bond;
- where R_{N-1} is selected from the group consisting of:
- (A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

5

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) $-CO-OH$,(6) $-C\equiv N$,

10

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) C_1-C_6 alkyl optionally substituted with one substituent selected from the group consisting of:

15

(i) -OH, and

(ii) $-NH_2$,

(c) C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

20

(d) C_3-C_7 cycloalkyl,(e) $(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) C_2-C_6 alkenyl with one or two double bonds,

25

(h) C_2-C_6 alkynyl with one or two triple bonds,

(i) C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$, and(k) $-R_{1-heteroaryl}$,

30

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$,

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$,

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the

5 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

10 (16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$,

bonds,

(c) C_2-C_6 alkenyl containing one or two double

15

bonds,

(d) C_2-C_6 alkynyl containing one or two triple

(e) C_3-C_7 cycloalkyl, and

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$,

20

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are

the same or different and are selected from the group consisting of:

(a) $-H$,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) $-OH$, and

25

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one to three $-F$, $-Cl$, $-Br$, or $-I$,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

30

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) $-C_2-C_6$ alkenyl with one or two double

bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

one triple bond,

(i) $-C_1-C_6$ alkyl chain with one double bond and

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined

5 above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

10 (21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

15 (24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

20 (26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is $-H$ or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

25 (30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

30 (33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$ optionally substituted with one, two, three, four, or five $-F$,

(35) C_3-C_7 cycloalkyl,

(36) C₂-C₆ alkenyl with one or two double bonds
optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃
alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(37) C₂-C₆ alkynyl with one or two triple bonds
optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃
alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(38) -(CH₂)₀₋₄-N(-H or R_{N-5})-SO₂-R_{N-2} where R_{N-5} and
R_{N-2} can be the same or different and are as described above, or

(39) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,
(B) -R_{N-heteroaryl} where R_{N-heteroaryl} is selected from the group
consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pyridazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,

5
10
15
20
25
30

furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isindolyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,

30

dihydrobenzisoquinazolinyl,
benzisoquinazolinyl,
benzoxazolinyl,
dihydrobenzothiazolinyl,
benzopyranil,
benzothiopyranil,
coumarinyl,
isocoumarinyl,
chromonil,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolidyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,

thiazolyl N-oxide,
 indoliziny N-oxide,
 indazolyl N-oxide,
 benzothiazolyl N-oxide,
 benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide, and
 benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of
 the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$
 group replaces the hydrogen atom and its bond, where heteroaryl is optionally
 substituted with one, two, three, or four of:

(1) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or
 three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -
 OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
 above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I

(5) $-CO-OH$,

(6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the
 same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1\text{-}C_6$ alkyl optionally substituted with one

substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) $-C_1\text{-}C_6$ alkyl optionally substituted with one

to three -F, -Cl, -Br, or -I,

- 5 bonds,
- one triple bond,
- 10
- double bonds),
- 15 three triple bonds),
- 20
- 25
- 30 bonds,
- bonds,
- (d) -C₃-C₇ cycloalkyl,
- (e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),
- (f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),
- (g) -C₂-C₆ alkenyl with one or two double
- (h) -C₂-C₆ alkynyl with one or two triple bonds,
- (i) -C₁-C₆ alkyl chain with one double bond and
- (j) -R₁-aryl, and
- (k) -R₁-heteroaryl,
- (8) -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl),
- (9) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl with one, two or three
- (10) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl with one, two or
- (11) -(CH₂)₀₋₄-CO-(C₃-C₇ cycloalkyl),
- (12) -(CH₂)₀₋₄-CO-R₁-aryl,
- (13) -(CH₂)₀₋₄-CO-R₁-heteroaryl,
- (14) -(CH₂)₀₋₄-CO-R₁-heterocycle,
- (15) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is selected from the
- group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,
- homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide,
- homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is
- optionally substituted with one, two, three, or four of: C₁-C₆ alkyl,
- (16) -(CH₂)₀₋₄-CO-O-R_{N-5} where R_{N-5} is selected from
- the group consisting of:
- (a) C₁-C₆ alkyl,
- (b) -(CH₂)₀₋₂-(R₁-aryl),
- (c) C₂-C₆ alkenyl containing one or two double
- (d) C₂-C₆ alkynyl containing one or two triple
- (e) C₃-C₇ cycloalkyl, and
- (f) -(CH₂)₀₋₂-(R₁-heteroaryl),

- as defined above,
- (17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are
- (18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,
- (19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,
- (20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,
- (21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,
- (22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,
- (23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,
- (24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,
- (25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,
- (26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,
- (27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,
- (28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,
- (29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,
- (30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,
- (31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,
- (32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,
- (33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,
- (34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F)$,
- (35) $C_3-C_7 \text{ cycloalkyl}$,
- (36) $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$

(37) C₂-C₆ alkynyl with one or two triple bonds
optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃
alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(38) -(CH₂)₀₋₄-N(-H or R_{N-5})-SO₂-R_{N-2} where R_{N-5} and
5 R_{N-2} can be the same or different and are as described above, or

(39) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

(C) R_{N-aryl}-W-R_{N-aryl},

(D) R_{N-aryl}-W-R_{N-heteroaryl},

(E) R_{N-aryl}-W-R_{N-1-heterocycle}, where R_{N-1-heterocycle} is defined as R₁₋
10 heterocycle,

(F) R_{N-heteroaryl}-W-R_{N-aryl},

(G) R_{N-heteroaryl}-W-R_{N-heteroaryl},

(H) R_{N-heteroaryl}-W-R_{N-1-heterocycle},

(I) R_{N-heterocycle}-W-R_{N-aryl},

(J) R_{N-heterocycle}-W-R_{N-heteroaryl}, and

(K) R_{N-heterocycle}-W-R_{N-1-heterocycle},

where W is

(11) -(CH₂)₀₋₄-,

(12) -O-,

20 (13) -S(O)₀₋₂-,

(14) -N(R_{N-5})- where R_{N-5} is as defined above,

or

(15) -CO-

(II) -CO-(C₁-C₁₀ alkyl) where alkyl is optionally substituted with one,
25 two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C₁-C₆ alkoxy,

(C) -C₁-C₆ thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -phenyl,

30 (E) -CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or
different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO₂-(C₁-C₈ alkyl),

(H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

5 (K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

10 (N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

(P) $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, or $-\text{I}$,

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$, and

15 (R) $-\text{F}$, or $-\text{Cl}$

(III) $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) $-\text{OH}$,

(B) $-\text{C}_1-\text{C}_6 \text{ alkoxy}$,

20 (C) $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$,

(D) $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is $-\text{H}$, $\text{C}_1-\text{C}_6 \text{ alkyl}$ or $-\text{phenyl}$,

(E) $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

25 (G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

30 (K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl),

(R) -F, -Cl,

(IV) -CO-(C₁-C₆ alkyl)-S-(C₁-C₆ alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C₁-C₆ alkoxy,

(C) -C₁-C₆ thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO₂-(C₁-C₈ alkyl),

(H) -SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C₁-C₆ alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C₁-C₆ alkyl),

(N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl),

(R) -F, or -Cl,

(V) $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-aryl}}$ and $\text{R}_{\text{N-heteroaryl}}$ are as defined above, where $\text{R}_{\text{N-10}}$ is selected from the group consisting of:

- (A) -H,
- (B) C_1-C_6 alkyl,
- (C) C_3-C_7 cycloalkyl,
- (D) C_2-C_6 alkenyl with one double bond,
- (E) C_2-C_6 alkynyl with one triple bond,
- (F) $\text{R}_{1-\text{aryl}}$ where $\text{R}_{1-\text{aryl}}$ is as defined above, and
- (G) $\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-heteroaryl}}$ is as defined above, or

(VI) $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$ where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

- (A) $-(\text{CH}_2)_{0-4}-\text{OH}$,
- (B) $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$ alkoxy,
- (C) $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$ thioalkoxy,
- (D) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is -H, C_1-C_6 alkyl or -

phenyl,

- (E) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,
- (F) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,
- (G) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,
- (H) $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,
- (I) $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,
- (J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,
- (K) $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl), and

(R) -F, or -Cl,

5 where R₁ is:

-CH₂-phenyl where -phenyl is substituted with two -F,

-(CH₂)_{n1}-R₁-heteroaryl, or

-(CH₂)_{n1}-R₁-heterocycle.

10 135. A free amine of formula (XVI) according to claim 134 where R₁ is:

-(CH₂)_{n1}-(R₁-heteroaryl).

136. A free amine of formula (XVI) according to claim 135 where n₁ is 1.

15 137. A free amine of formula (XVI) according to claim 134 where R₁ is:

-(CH₂)_{n1}-(R₁-heterocycle).

138. A free amine of formula (XVI) according to claim 137 where n₁ is 1.

20 139. A free amine of formula (XVI) according to claim 134 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

140. A free amine of formula (XVI) according to claim 134 where R₂ and R₃ are both -H.

25 141. A free amine of formula (XVI) according to claim 134 where R_N is:

R_{N-1}-X_N- where X_N is selected from the group consisting of:

-CO-, and

-SO₂-,

30 where R_{N-1} is selected from the group consisting of:

R_N-aryl, and

-R_N-heteroaryl.

142. A free amine of formula (XVI) according to claim 141 where R_N is:

$R_{N-1}-X_N$ - where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

R_{N-aryl} , and

$R_{N-heteroaryl}$.

143. A free amine of formula (XVI) according to claim 142 where R_N is:

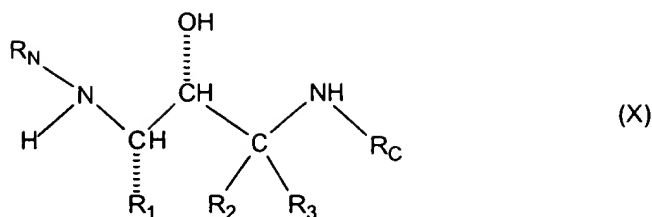
(a) $R_{N-1}-X_N$ - where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-NR_{N-2}R_{N-3} where the substitution on phenyl is 1,3- and where R_{N-2} and R_{N-3} are the same and are C₃ alkyl, or

(b) $R_{N-1}-X_N$ - where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C₁ alkyl and with one -CO-NR_{N-2}R_{N-3} where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C₃ alkyl.

144. A free amine of formula (XVI) according to claim 134 which is

N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide.

145. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



where R₁ is:

- (I) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, C₁-C₇ alkyl (optionally substituted with C₁-C₃ alkyl and C₁-C₃ alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

(III) -CH₂-CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C≡N, -CF₃, C₁-C₃ alkoxy,

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5

(D) -F, Cl, -Br or -I,

(F) -C₁-C₆ alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

10

(I) -C≡N,

(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

15

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or(N) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where

R_{1-heteroaryl} is selected from the group consisting of:

20

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

25

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

30

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

Sub
A1

F025006550

Sub
Al

[illegible]

10

15

20

25

30

pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyI,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothieryl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,

Sub
A1

P. 006230 "02T36360"

5 benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
10 benzisoxazinyl,
benzoxazinyl,
dihydrobenziso­thiazinyl,
benzopyranyl,
benzothio­pyranyl,
15 coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
20 tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
25 dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
30 pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,

Sub
A'

T06330-023600

- 5 indoliny N-oxide,
isoquinolyl N-oxide,
quinazoliny N-oxide,
quinoxaliny N-oxide,
phthalaziny N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
10 indoliziny N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
15 oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
20 benzothiopyranyl S,S-dioxide,
where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_n-$ by any ring
atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to
the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is
optionally substituted with one, two, three, or four:
- 25 (1) C_1 - C_6 alkyl optionally substituted with one, two or three
substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH,
-SH, $-C\equiv N$, $-CF_3$, C_1 - C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
above,
- 30 (2) C_2 - C_6 alkenyl with one or two double bonds, optionally
substituted with one, two or three substituents selected from the group consisting of
-F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1 - C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are
-H or C_1 - C_6 alkyl,
- (3) C_2 - C_6 alkynyl with one or two triple bonds, optionally
substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, Cl, -Br or -I,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or three

5 of: -F,

(7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two or

10 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

15 (14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

20 thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

25 pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

30 tetrahydrothienyl,

homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

Sub
Al

13615.25US

oxazolidinonyl,
 dihydropyrazolyl
 dihydropyrrolyl
 dihydropyrazinyl
 dihydropyridinyl
 dihydropyrimidinyl
 dihydrofuryl
 dihydropyranyl

tetrahydrothienyl S-oxide
 tetrahydrothienyl S,S-dioxide
 homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $C_1\text{-}C_3$ alkoxy, and $\text{-NR}_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above,

(2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $C_1\text{-}C_3$ alkoxy, and $\text{-NR}_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or $C_1\text{-}C_6$ alkyl,

(3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $C_1\text{-}C_3$ alkoxy, and $\text{-NR}_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or $C_1\text{-}C_6$ alkyl,

(4) -F, Cl, -Br or -I,

(5) $C_1\text{-}C_6$ alkoxy,

(6) $\text{-C}_1\text{-}C_6$ alkoxy optionally substituted with one, two, or three of -F,

(7) $\text{-NR}_{N\text{-2}}R_{N\text{-3}}$ where $R_{N\text{-2}}$ and $R_{N\text{-3}}$ are as defined below,

(8) -OH,

(9) $-C\equiv N$,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl,

(11) $-CO-(C_1-C_4 \text{ alkyl})$,

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

above,

(14) $-SO_2-(C_1-C_4 \text{ alkyl})$, or

(15) $=O$, with the proviso that when n_1 is zero

$R_{1-\text{heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I) $-H$,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is $R_{1-\text{aryl}}$ or $R_{1-\text{heteroaryl}}$ where $R_{1-\text{aryl}}$ and $R_{1-\text{heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl, $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl, or

(VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl;

where R_3 is:

(I)-H,

(II) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and R_{1-heteroaryl} are as defined above;

(IV) C₂-C₆ alkenyl with one or two double bonds,

(V) C₂-C₆ alkynyl with one or two triple bonds, or

(VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}-, where R_{N-2} is as defined below;

where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO₂-,

(C) -(CR'R'')₁₋₆ where R' and R'' are the same or different and are -H or C₁-C₄ alkyl,

(D) -CO-(CR'R'')₁₋₆-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) -NO₂,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C₃-C₇ cycloalkyl,(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

above,

(8) -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl),

(9) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl with one, two or three double bonds),

(10) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl with one, two or

three triple bonds),

(11) -(CH₂)₀₋₄-CO-(C₃-C₇ cycloalkyl),(12) -(CH₂)₀₋₄-CO-R_{1-aryl} where R_{1-aryl} is as defined

above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

5 (15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

10 (16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is as defined above,

15 (c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

20 (f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

25 (19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

30 (22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

Sub
Al

13615.25U8

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$,

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(B) $-R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

5

10

15

20

25

30

pyridazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanlyl,
chromanlyl,
tetrahydroisoquinolinyl,

T06230: 6E9660

Sub
A1

T 0 3 3 0 : 0 6 3 5 0

Sub
Al

5

10

15

20

25

30

isoindoliny,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenziso-thiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl

benzodioxanyl

pyrrolyl N-oxide,

pyrrolyl N-oxide,

pyrimidinyl N-oxide,

pyridazinyl N-oxide,

pyrazinyl N-oxide,

quinolinyl N-oxide,

indolyl N-oxide,

indolinyI N-oxide,

isoquinolyl N-oxide,

quinazolinyl N-oxide,

quinoxaliny N-oxide,

phthalazinyl N-oxide,

imidazolyl N-oxide,

isoxazolyl N-oxide,

oxazolyl N-oxide,

thiazolyl N-oxide,

indolizinyI N-oxide,

indazolyl N-oxide,

benzothiazolyl N-oxide,

benzimidazolyl\N-oxide,

pyrrolyl N-oxide, \

oxadiazolyl N-oxide,

thiadiazolyl N-oxide,

triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the R_{N-heteroaryl} group is bonded by any atom of

the parent R_{N-heteroaryl} group substituted by hydrogen such that the new bond to the R_{N-heteroaryl} group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5

(2) -OH,

(3) -NO₂,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

10

(7) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the

same or different and are selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

15

(i) -OH, and

(ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

20

(d) -C₃-C₇ cycloalkyl,(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),(g) -C₂-C₆ alkenyl with one or two double

bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

25

(i) -C₁-C₆ alkyl chain with one double bond and

one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined

above,

30

(8) -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl),

(9) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl with one, two or three double bonds),

three triple bonds),

(10) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl with one, two or

above,

(11) $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$,

(12) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{aryl}}$ where $\text{R}_{1-\text{aryl}}$ is as defined

5 defined above,

(13) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{heteroaryl}}$ where $\text{R}_{1-\text{heteroaryl}}$ is as

defined above,

(14) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{heterocycle}}$ where $\text{R}_{1-\text{heterocycle}}$ is as

(15) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

the group consisting of:

(16) $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$ where $\text{R}_{\text{N-5}}$ is selected from

15

(a) C_1-C_6 alkyl,

above,

(b) $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{aryl}})$ where $\text{R}_{1-\text{aryl}}$ is as defined

bonds,

(c) C_2-C_6 alkenyl containing one or two double

20

(d) C_2-C_6 alkynyl containing one or two triple

bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{heteroaryl}})$ where $\text{R}_{1-\text{heteroaryl}}$ is as

defined above,

25

(17) $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are

as defined above,

(18) $-(\text{CH}_2)_{0-4}-\text{SO}-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(19) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_{12} \text{ alkyl})$,

(20) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$,

30

(21) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$ where $\text{R}_{\text{N-5}}$ can

be the same or different and is as defined above,

(22) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$, where $\text{R}_{\text{N-5}}$

can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

5 (25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

10 (28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

15 (31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

20 (34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F),$

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

30 (39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$, where R_{N-aryl} is defined as above,

(D) $R_{N-aryl}-W-R_{N-heteroaryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ is defined as

Sub
Al

13615.25US

R_{N-1} -heterocycle, is defined above,

(F) R_{N-1} -heteroaryl-W- R_{N-1} -aryl, where R_{N-1} -aryl and R_{N-1} -heteroaryl are as defined above,

(G) R_{N-1} -heteroaryl-W- R_{N-1} -heteroaryl, where R_{N-1} -heteroaryl is as defined above,

(H) R_{N-1} -heteroaryl-W- R_{N-1} -heterocycle, where R_{N-1} -heterocycle is as defined as R_{N-1} -heterocycle is as defined above, and where R_{N-1} -heteroaryl is as defined above,

(I) R_{N-1} -heterocycle-W- R_{N-1} -aryl, where R_{N-1} -heterocycle is as defined as R_{N-1} -heterocycle is defined and where R_{N-1} -aryl are as defined above,

(J) R_{N-1} -heterocycle-W- R_{N-1} -heteroaryl, where R_{N-1} -heterocycle is as defined as R_{N-1} -heterocycle as defined above and R_{N-1} -heteroaryl are as defined above, and

(K) R_{N-1} -heterocycle-W- R_{N-1} -heterocycle, where R_{N-1} -heterocycle and R_{N-1} -heteroaryl are as defined above,

where W is

- (16) $-(CH_2)_{0-4}-$,
 (17) $-O-$,
 (18) $-S(O)_{0-2}-$,
 (19) $-N(R_{N-5})-$ where R_{N-5} is as defined above,

or

- (20) $-CO-$

(II) $-CO-(C_1-C_{10}$ alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- (A) $-OH$,
 (B) $-C_1-C_6$ alkoxy,
 (C) $-C_1-C_6$ thioalkoxy,
 (D) $-CO-O-R_{N-8}$ where R_{N-8} is $-H$, C_1-C_6 alkyl or $-phenyl$,
 (E) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,
 (F) $-CO-R_{N-4}$ where R_{N-4} is as defined above,
 (G) $-SO_2-(C_1-C_8$ alkyl),
 (H) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,
 (I) $-NH-CO-(C_1-C_6$ alkyl),
 (J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $-R_{N-4}$ where R_{N-4} is as defined above,

(M) $-O-CO-(C_1-C_6 \text{ alkyl})$,

(N) $-O-CO-NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) $-O-(C_1-C_5 \text{ alkyl})-COOH$,

(P) $-O-(C_1-C_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-F$, $-Cl$, $-Br$, or $-I$,

(Q) $-NH-SO_2-(C_1-C_6 \text{ alkyl})$, and

(R) $-F$, or $-Cl$

(III) $-CO-(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) $-OH$,

(B) $-C_1-C_6 \text{ alkoxy}$,

(C) $-C_1-C_6 \text{ thioalkoxy}$,

(D) $-CO-O-R_{N-8}$ where R_{N-8} is $-H$, $C_1-C_6 \text{ alkyl}$ or $-\phi$,

(E) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) $-CO-R_{N-4}$ where R_{N-4} is as defined above,

(G) $-SO_2-(C_1-C_8 \text{ alkyl})$,

(H) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) $-NH-CO-(C_1-C_6 \text{ alkyl})$,

(J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $-R_{N-4}$ where R_{N-4} is as defined above,

(M) $-O-CO-(C_1-C_6 \text{ alkyl})$,

(N) $-O-CO-NR_{N-8}R_{N-8}$ where the R_{N-8} s are the same or different and are as defined above,

(O) $-O-(C_1-C_5 \text{ alkyl})-COOH$,

(P) $-O-(C_1-C_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-F$, $-Cl$, $-Br$, or $-I$,

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(R) $-\text{F}$, $-\text{Cl}$,

(IV) $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{S}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

5

(A) $-\text{OH}$,

(B) $-\text{C}_1-\text{C}_6 \text{ alkoxy}$,

(C) $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$,

(D) $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

10 (E) $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

(H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

15

(I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

(K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

20

(M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

25 (P) $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$,

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(R) $-\text{F}$, or $-\text{Cl}$,

(V) $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$, where $\text{R}_{\text{N-10}}$ is selected from the group consisting of:

30

(A) $-\text{H}$,

(B) $\text{C}_1-\text{C}_6 \text{ alkyl}$,

(C) $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$,

(D) $\text{C}_2-\text{C}_6 \text{ alkenyl}$ with one double bond,

(E) C₂-C₆ alkynyl with one triple bond,

(F) R₁-aryl, and

(G) R_N-heteroaryl, or

(VI) -CO-(C₃-C₈ cycloalkyl) where alkyl is optionally substituted with

5 one or two substituents selected from the group consisting of:

(A) -(CH₂)₀₋₄-OH,

(B) -(CH₂)₀₋₄-C₁-C₆ alkoxy,

(C) -(CH₂)₀₋₄-C₁-C₆ thioalkoxy,

(D) -(CH₂)₀₋₄-CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -

10 phenyl,

(E) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -(CH₂)₀₋₄-SO₂-(C₁-C₈ alkyl),

15 (H) -(CH₂)₀₋₄-SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -(CH₂)₀₋₄-NH-CO-(C₁-C₆ alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -(CH₂)₀₋₄-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or

20 different and are as defined above,

(L) -(CH₂)₀₋₄-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C₁-C₆ alkyl),

(N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and are as defined above,

25 (O) -O-(C₁-C₅ alkyl)-COOH,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl), and

(R) -F, or -Cl,

30 where R_C is:

(I)-C₁-C₁₀ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂ R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂ NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C₁-C₄ alkyl optionally substituted with one or two -OH,,

C₁-C₄ alkoxy optionally substituted with one, two, or three of:

-F,

-(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

C₂-C₆ alkenyl containing one or two double bonds,

C₂-C₆ alkynyl containing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl} where R_{C-heteroaryl} is the same as R_{N-}

heteroaryl and R_{C-x} and R_{C-y} are as defined above,

(V) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as

defined above,

(VI) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heteroaryl} where R_{C-aryl}, R_{C-heteroaryl}, R_{C-x} and

R_{C-y} are as defined above,

(VII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-aryl} where R_{C-heteroaryl}, R_{C-aryl}, R_{C-x}

and R_{C-y} are as defined above,

(VIII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-heteroaryl} where R_{C-heteroaryl}, R_{C-x} and

R_{C-y} are as defined above,

(IX) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heterocycle} where R_{C-aryl}, R_{C-x} and R_{C-y} are

as defined above, and R_{C-heterocycle} is the same as R_{N-heterocycle},

(X) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heteroaryl}}$, $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XI) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-aryl}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-heteroaryl}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XIII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XIV) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XV) $-[\text{C}(\text{R}_{\text{C-1}})(\text{R}_{\text{C-2}})]_{1-3}-\text{CO}-\text{N}(\text{R}_{\text{C-3}})_2$ where $\text{R}_{\text{C-1}}$ and $\text{R}_{\text{C-2}}$ are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-\text{C}_1-\text{C}_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(F) $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(\text{C}_1-\text{C}_4 \text{ alkyl})-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-aryl}}$ is as defined for R_{1-aryl} ,

(H) $-(\text{C}_1-\text{C}_4 \text{ alkyl})-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heteroaryl}}$ is as defined above,

above,
(I) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined

(J) $-R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) $-R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'\text{-aryl}}$ where R_{C-4} is -O-, -S- or
-NR_{C-5}- where R_{C-5} is C₁-C₆ alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

(N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C\text{-heteroaryl}}$ where R_{C-4} and $R_{C\text{-heteroaryl}}$ are as defined above, and

(O) $-R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where R_{C-3} is the same or different and is:

(A) -H,

(B) C₁-C₆ alkyl optionally substituted with one, two or three
substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,
-SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as
defined above,

(C) C₂-C₆ alkenyl with one or two double bonds, optionally
substituted with one, two or three substituents selected from the group consisting of
C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and
-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(D) C₂-C₆ alkynyl with one or two triple bonds, optionally
substituted with one, two or three substituents selected from the group consisting of
C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and
-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one,
two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a}
and R_{1-b} are as defined above,

(F) $-R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) $-R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) $-R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined

above, or

(K) $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined

above,

(XVI) $-\text{CH}(R_{C\text{-aryl}})_2$ where $R_{C\text{-aryl}}$ are the same or different and are as defined above,

5 (XVII) $-\text{CH}(R_{C\text{-heteroaryl}})_2$ where $R_{C\text{-heteroaryl}}$ are the same or different and are as defined above,

(XVIII) $-\text{CH}(R_{C\text{-aryl}})(R_{C\text{-heteroaryl}})$ where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

10 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{1-5} , O, or $\text{S}(=\text{O})_{0-2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two $-C_1-C_3$ alkyl, -F, -OH, -SH, $-C\equiv N$, $-\text{CF}_3$, C_1-C_6 alkoxy, =O, or $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

15 (XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-aryl}}$ where $R_{C\text{-aryl}}$ is as defined above and R_{C-6} is $-(\text{CH}_2)_{0-6}-\text{OH}$,

25 (XXII) $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ and R_{C-6} is as defined above,

(XXIII) $-\text{CH}(-R_{C\text{-aryl}} \text{ or } R_{C\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$ where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XXIV) $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl}-\text{NO}_2$,

30 (XXV) $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$,

(XXVII) $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$,

(XXVIII) -H, or

(XXIX) $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

146. A method of treatment according to claim 145, wherein the disease is Alzheimer's disease.

5

147. A method of treatment according to claim 145, wherein the method is helping prevent or delay the onset of Alzheimer's disease.

10

148. A method of treatment according to claim 145, wherein the disease is mild cognitive impairment.

149. A method of treatment according to claim 145, wherein the disease is Down's syndrome.

15

150. A method of treatment according to claim 145, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

20

151. A method of treatment according to claim 145, wherein the disease is cerebral amyloid angiopathy.

152. A method of treatment according to claim 145, wherein the disease is degenerative dementias.

25

153. A method of treatment according to claim 145, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

154. A method of treatment according to claim 145, wherein the method is treating an existing disease.

30

155. A method of treatment according to claim 145, wherein the method is preventing a disease from developing.

156. A method of treatment according to claim 145, wherein the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000

Sub
A1

TOE90" 6E9660

mg/day; for parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

5

157. A method of treatment according to claim 156, wherein the therapeutically effective amount for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

10

158. A method of treatment according to claim 157 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

159. A method of treatment according to claim 145 where:

where R_1 is:

15

$-(CH_2)_{0-1}-(R_1\text{-aryl})$, or

$-(CH_2)_{n1}-(R_1\text{-heteroaryl})$

where R_N is:

$R_{N-1}-X_N$, where X_N is selected from the group consisting of:

$-CO-$, and

20

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_N\text{-aryl}$, and

$-R_N\text{-heteroaryl}$,

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_N\text{-aryl}/R_N\text{-heteroaryl}$, and

25

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_C-xR_C-y)_{0-4}-R_C\text{-aryl}$,

$-(CR_C-xR_C-y)_{0-4}-R_C\text{-heteroaryl}$,

30

$-(CR_C-xR_C-y)_{0-4}-R_C\text{-heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_C\text{-aryl}$ or $R_C\text{-heteroaryl}$ or R_C -

heterocycle.

160. A method of treatment according to claim 159 where:

where R_1 is:

$-(CH_2)-(R_{1-aryl})$, or

$-(CH_2)-(R_{1-heteroaryl})$;

where R_2 is $-H$;

where R_3 is $-H$;

where R_N is:

$R_{N-1}-X_N$ where X_N is:

$-CO-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N-aryl}$, and

$-R_{N-heteroaryl}$;

where R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

$-cyclopentyl$ or $-cyclohexyl$ ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or R_{C-}

heterocycle.

161. A method of treatment according to claim 160 where R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$, or

$-cyclopentyl$ or $-cyclohexyl$ ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or R_{C-}

heterocycle.

162. A method of treatment according to claim 145 where R_1 is:

$-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl.

163. A method of treatment according to claim 162 where R_1 is:

$-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl substituted with two $-F$.

164. A method of treatment according to claim 163 where the $-F$ substitution is 3,5-difluorobenzyl.

165. A method of treatment according to claim 145 where R_2 is -H.

166. A method of treatment according to claim 145 where R_3 is -H.

5 167. A method of treatment according to claim 145 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl
substituted with one -CO-NR_{N-2}R_{N-3} where the substitution on phenyl is 1,3-.

10 168. A method of treatment according to claim 167 where R_{N-2} and R_{N-3} are the same
and are C₃ alkyl.

169. A method of treatment according to claim 145 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl
substituted with one C₁ alkyl and with one -CO-NR_{N-2}R_{N-3} where the substitution on
15 the phenyl is 1,3,5-.

170. A method of treatment according to claim 169 where R_{N-2} and R_{N-3} are the same
and are C₃ alkyl.

20 171. A method of treatment according to claim 145 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is
substituted with one -CO-NR_{N-2}R_{N-3}.

25 172. A method of treatment according to claim 171 where R_{N-2} and R_{N-3} are the same
and are -C₃ alkyl.

173. A method of treatment according to claim 145 where R_C is:
-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-aryl} is phenyl,
-(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}, or
30 -cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.

174. A method of treatment according to claim 173 where R_C is:
-(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-aryl} is phenyl.

Sub
A1

T 0 6 3 0 6 2 9 3 6 0

175. A method of treatment according to claim 174 where phenyl is substituted in the 3-position or 3,5-positions.

176. A method of treatment according to claim 173 where R_C is:

5 $-(CH_2)-R_{C-heteroaryl}$.

177. A method of treatment according to claim 173 where R_C is:

$-(CH_2)-R_{C-heterocycle}$.

10 178. A method of treatment according to claim 173 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

179. A method of treatment according to claim 145 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids
 15 acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camrylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic,
 20 methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

25 180. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

$N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

30 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(2\text{-furylmethyl})\text{amino}]-2\text{-hydroxypropyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1-[(1S,2R)-1\text{-benzyl-3-(ethylamino)-2-hydroxypropyl}]-N^3,N^3\text{-dipropylisophthalamide,}$

N^1 -[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl]- N^3,N^3 -dipropylisophthalamide,

10 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropylisophthalamide,

ethyl {[3S]-3-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1 -[(1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl]- N^3,N^3 -dipropylisophthalamide,

15 N^1 -[(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl]- N^3,N^3 -dipropylisophthalamide,

25 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- N^3,N^3 -dipropylisophthalamide,

15 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

20 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

25 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

30 N^1 -{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-
 N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(4-pyridinylmethyl)amino]propyl}-
 N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-
pyrrolidinyl)ethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-
 N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-
(trifluoromethoxy)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{(2-chloro-6-phenoxybenzyl)amino]-2-
hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-
(trifluoromethyl)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-benzyl-3-{(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-
 N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-
 N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-benzyl-3-{(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-
 N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-
(trifluoromethoxy)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-{(2-[4-(aminosulfonyl)phenyl]ethyl)amino]-1-benzyl-2-
hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(4-methoxybenzyl)amino]propyl}- N^3,N^3 -
dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(4-methylbenzyl)amino]propyl}- N^3,N^3 -
dipropylisophthalamide,

30 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[3,4,5-trimethoxybenzyl]amino]propyl}-
 N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}
propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-3-{(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-
 N^3,N^3 -dipropylisophthalamide,

~~N¹-{(1S,2R)-1-benzyl-3-[[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,~~

N¹-{(1*S*,2*R*)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,~~

15 N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(1S)-1-phenylethyl]amino}propyl)-
N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-3-{{3,5-bis(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,

20 N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(trifluoromethyl)benzyl}amino} propyl)-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-1-(1-naphthyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-((1R)-1-(1-naphthyl)ethylamino)propyl)-N³,N³-dipropylisophthalamide,

25 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-
N³,N³-dipropylisophthalamide,

N¹-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N³,N³-
30 dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-(((1S)-2-hydroxy-1-methylethyl)amino)propyl)-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-(((1R)-2-hydroxy-1-methylethyl)amino)propyl)-N³,N³-dipropylisophthalamide,

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-{2-(2-fluorophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-[(1S,2R)-1-benzyl-3-{2-(3-fluorophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-{2-(4-fluorophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-[(1S,2R)-1-benzyl-3-{2-(4-bromophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S)-1-benzyl-2-hydroxy-3-{2-(3-methoxyphenyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-{2-(2,4-dichlorophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-[(1S,2R)-1-benzyl-3-{2-(3-chlorophenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S)-1-benzyl-3-{2-(2,5-dimethoxyphenyl)ethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{2-(4-methylphenyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-{(1R)-1-benzyl-2-hydroxyethyl}amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{3-(4-morpholinyl)propyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{2-(4-morpholinyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{(2-hydroxybutyl)amino}propyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{2-(2-thienyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-{(4-hydroxybutyl)amino}propyl)-N³,N³-dipropylisophthalamide,~~

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,

5 N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,

10 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropylisophthalamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N³,N³-dipropylisophthalamide,

20 N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N³,N³-

30 dipropylisophthalamide,

N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-phenylpropyl)amino)propyl)-5-methyl-N³,N³-dipropylisophthalamide,

$N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-3-\{[(1S)-2\text{-(ethylamino)}-1\text{-methyl-2-oxoethyl}]\text{amino}\}-2\text{-hydroxypropyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}\{[(1S)-2\text{-(isobutylamino)}-2\text{-oxo-1-phenylethyl}]\text{amino}\}\text{propyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
5 $N^1-[(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-(isopentylamino)propyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N^1-[(1S,2R)-3\text{-(cyclohexylamino)}-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N^1-[(1S,2R)-3\text{-(butylamino)}-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
10 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxypropyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(2\text{-hydroxy-2-phenylethyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
15 $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-3-\{[(3R,5S)-3,5\text{-dimethoxycyclohexyl}]\text{amino}\}-2\text{-hydroxypropyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $\text{dimethyl (1R,3S)-5-}\{[(2R,3S)-4\text{-(3,5-difluorophenyl)}-3\text{-(}\{3\text{-}[(\text{dipropylamino})\text{carbonyl}]-5\text{-methylbenzoyl}\}\text{amino})-2\text{-hydroxybutyl}]\text{amino}\}-1,3\text{-cyclohexanedicarboxylate,}$
20 $(1R,3S)-5-\{[(2R,3S)-4\text{-(3,5-difluorophenyl)}-3\text{-(}\{3\text{-}[(\text{dipropylamino})\text{carbonyl}]-5\text{-methylbenzoyl}\}\text{amino})-2\text{-hydroxybutyl}]\text{amino}\}-1,3\text{-cyclohexanedicarboxylic acid,}$
 $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}\{[(1R)-1\text{-phenylpropyl}]\text{amino}\}\text{propyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
25 $N^1-[(1S,2R)-3\text{-}[(3\text{-chlorobenzyl})\text{amino}]-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}-3\text{-}[(2\text{-propylpentyl})\text{sulfonyl}]\text{benzamide,}$
 $N^1-[(1S,2R)-3\text{-}[(1,1'\text{-biphenyl})-3\text{-ylmethyl}]\text{amino}]-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
30 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-iodobenzyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methylbenzyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- N^3,N^3 -dipropylisophthalamide,

10 N^3,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- N^3,N^3 -dipropylisophthalamide,

N^2 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^5,N^5 -dipropyl-2,5-thiophenedicarboxamide,

15 N^4 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^2,N^2 -dipropyl-2,4-pyridinedicarboxamide,

N^4 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^6,N^6 -dipropyl-4,6-pyrimidinedicarboxamide,

20 N -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,

25 N^1 -[(1S,2R)-3-([(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-([(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

5 N³-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,
3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,
N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³-(2-methoxyethyl)-N³-propylisophthalamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,
10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
15 N¹-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-
20 N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-
N³,N³-dipropylisophthalamide,
25 N¹-{(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-
N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-
30 hydroxypropyl)-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,
N¹-{(1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-benzyl-3-[[3-(difluoromethoxy)benzyl]amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

10 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-[(5-methyl-2-pyrazinyl)methyl]amino]propyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3 -methyl- N^3 -propylisophthalamide,

25 N^2 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^5,N^5 -dipropyl-2,5-furandicarboxamide,

N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

30 N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

Sub
A1

T06290-6E196860

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide, isomer A,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthracenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(trifluoromethoxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethoxybenzamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-difluorobenzamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dichlorobenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-(benzyloxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1,3-benzodioxole-5-carboxamide,

15 3-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

4-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-furanylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N³,N³-dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 5-chloro- N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-3-[[1-(1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[butyl(butyryl)amino]-5-methylbenzamide,

25 N^1 -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^1,N^1 -dipropylisophthalamide,

30 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl- N^3,N^3 -dipropylisophthalamide,

N -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-butyl-1H-indole-6-carboxamide,

N^1 -[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5-bromo-N¹-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide,

5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N³,N³-dipropylisophthalamide hydrochloride,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

1 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,

15 5-(aminosulfonyl)-N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N³,N³-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

20 N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N³,N³-dipropylisophthalamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide,

25 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(methylsulfonyl)propanamide,

2-amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide,

30 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide,

N¹-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N⁴-phenylsuccinamide,

(3R)-N⁴-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
 [(dipropylamino)sulfonyl]propanamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-
 dipropylpentanediamide,

5 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-
 4-(1-piperidinyl)butanamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-
 N⁴,N⁴-dipropylsuccinamide,

Sub
A¹
10 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-
 5-(1-piperidinyl)pentanamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵-
 phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-
 dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

15 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 (isopentylsulfonyl)butanamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-
 dimethyl-N⁴,N⁴-dipropylsuccinamide,

20 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 [(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 [(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
 [(methylanilino)sulfonyl]propanamide,

25 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

30 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-
 piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- N^3 -propylisophthalamide,

10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dimethyl- N^5,N^5 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

15 N^1 -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3 -propyl-1,3,5-benzenetricarboxamide,

20 N -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl} octanamide,

N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl)amino]propyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

Sub A

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl}amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹,N¹-diallyl-5-chloro-N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,~~

~~N¹,N¹-diallyl-5-chloro-N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,~~

~~N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(dimethylamino)benzyl}amino}-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{[4,5-dimethyl-2-furyl)methyl}amino}-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N⁵,N⁵-dipropylpentanediamide,~~

~~N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methyl-2-furyl)methyl]amino}propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5-chloro- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^3,N^3 -di(2-propynyl)isophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,
methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 (1R,2R)- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,~~

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 4-(butylamino)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,
 N^1 -[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
10 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide 1-oxide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^3 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,

Sub A1

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(2-furyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-5-isoxazolyl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,~~

10 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{2-(2-chlorophenyl)ethyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(2-oxo-1-pyrrolidiny)propyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-((1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,~~

~~N¹-[(1S,2R)-1-benzyl-3-{{2-[(2-ethylhexyl)oxy]ethyl}amino}-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

25 ~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-2-hydroxy-3-{{1-(4-hydroxyphenyl)ethyl}amino}propyl)-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-2-ylmethyl]amino)-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(dimethylamino)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-naphthamide,

5 N¹-[(1S,2R)-1-benzyl-3-({2-[(5-[(dimethylamino)methyl]-2-furyl)methyl]sulfanyl}ethyl)amino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N³,N³-dipropylisophthalamide,

10 N¹-[(1S,2R)-3-([(1,1'-biphenyl)-4-ylmethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-3-[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl]-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(5-cyano-6-(methylsulfanyl)-2-pyridinyl)methyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,
 N¹-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,
 5 methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,
 10 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-methyl-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-3-({[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,
 15 N¹-[(1S,2R)-3-({[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 20 N¹-[(1S,2R)-3-({[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 25 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-quinolinyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(2-quinolinyl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
 30 N¹-[(1S,2R)-3-({[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,
 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N^1 -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{[(1S,2R)-3-[(2-butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 5 methyl 3-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl)amino]-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,
 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,
 10 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)- N^3,N^3 -dipropylisophthalamide,
 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)- N^3,N^3 -dipropylisophthalamide,
 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 15 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-prop-1-ynylisophthalamide,
 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 20 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{[(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-(8-quinoliny)isophthalamide,
 25 N^3 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,
 N^3 -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,
 30 N^3 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,
 N^3 -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-
 [(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -
 dipropyl-5-(3-thienyl)isophthalamide,
 5 N -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,
 N^1 -(4-hydroxybutyl)- N^3 -{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 methoxybenzyl)amino]propyl}-5-methyl- N^1 -propylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 10 methoxybenzyl)amino]propyl}- N^3 -(3-hydroxypropyl)-5-methyl- N^3 -
 propylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
 methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-
 15 hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-
 methylphenyl)propyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-
 methyl- N^3,N^3 -dipropylisophthalamide,
 20 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-
 dioxo-2-propyl-5-isoindolinecarboxamide,
 N -{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
 bromo-5-methylbenzamide,
 25 3-bromo- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,
 30 N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
 methyl- N^1,N^1 -dipropylisophthalamide,
 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-
 furyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl-3-(3-thienyl)benzamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³,N⁵,N⁵-tetrapropylbenzene-1,3,5-tricarboxamide,

20 N¹-((1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[(3-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

25 N¹-((1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropylbenzene-1,3,5-tricarboxamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-[(trifluoromethyl)sulfonyl]amino isophthalamide,

5-Amino-N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N¹-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,~~

~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,~~

5 ~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide.~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,~~

~~tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]-propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate~~

15 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,~~

20 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,~~

25 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-[[trifluoromethyl)sulfonyl]amino}isophthalamide,~~

~~3-[[{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,~~

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -dipropyl-5-prop-1-ynylisophthalamide,
 N -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,
5 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy- N^3 -methylisophthalamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,
10 5-(aminosulfonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,
15 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)- N^3 , N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3 , N^3 -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,
3-(cyclohexylcarbonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3 -propylisophthalamide,
25 3-[cyclohexyl(hydroxy)methyl]- N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)- N^3 , N^3 -dipropylisophthalamide
30 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- N^3 , N^3 -dipropylisophthalamide,

N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- N^5 , N^5 -dipropylpyridine-3,5-dicarboxamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl- N^3 , N^3 -dipropylisophthalamide,
1-(3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl-5-methylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 ,5-dimethylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 ,5-dimethyl- N^3 -prop-2-ynylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl-5-methylisophthalamide,
 N^1 -(sec-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 , N^3 -diethyl-5-methylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 ,5-dimethyl- N^3 -propylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl- N^3 ,5-dimethylisophthalamide,
 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 ,5-dimethylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl- N^3 ,5-dimethylisophthalamide,
30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl-5-methyl- N^3 -propylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 -isopropyl-5-methylisophthalamide,

~~N¹,N¹-diallyl-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,~~

~~3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide~~

5 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,~~

10 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-diisopropyl-5-methylisophthalamide,~~

~~N¹-butyl-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N¹-ethyl-5-methylisophthalamide,~~

~~N¹-(cyclopropylmethyl)-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N¹-propylisophthalamide,~~

15 ~~1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-D-prolinamide,~~

~~N¹-cyclohexyl-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N¹,5-dimethylisophthalamide,~~

20 ~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-(3-methylphenyl)cyclopropyl]amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N⁵,N⁵-diisopropylpyridine-3,5-dicarboxamide, and~~

25 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide.~~

181. A method of treatment according to claim 180 where the substituted amine (X) is selected from the group consisting of:

30 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,~~

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

15 N^1 -{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

20 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

Sub A1
~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(((1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl)amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(((1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl)amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]butyl)amino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl)amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N³,N³-dipropylisophthalamide,

- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- N^3,N^3 -dipropylisophthalamide
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
10 N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- N^3,N^3 -dipropylisophthalamide,
 N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
15 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
20 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,
25 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3 -(2-methoxyethyl)- N^3 -propylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
30 N^1 -[(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

~~N¹-((1S,2R)-1-benzyl-3-{{4-fluoro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{4-chloro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

5 ~~N¹-((1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-{{3-(difluoromethoxy)benzyl}amino}-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

10 ~~N¹-((1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino)propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³-methyl-N³-propylisophthalamide,~~

~~N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

25 ~~N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide, isomer B,~~

30 ~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5-chloro- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[[{(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- N^3,N^3 -dipropylisophthalamide hydrochloride,
25 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
5-(aminosulfonyl)- N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,
30 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]- N^3,N^3 -dipropylisophthalamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-
[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-
ethyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-tert-
butyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-
cyano-N³-propylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
methoxybenzyl)amino]propyl)-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
methoxybenzyl)amino]propyl)-1-propyl-1H-indole-6-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-
hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-
hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N³-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-
(trifluoromethyl)phenyl]ethyl)amino]propyl]-N⁵,N⁵-dipropyl-3,5-
pyridinedicarboxamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-
dihydro-1H-inden-1-yl]amino)propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-
ylamino]-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

5-chloro-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
phenylethyl)amino]propyl)-N³,N³-bis(2-methoxyethyl)isophthalamide,

30 N³-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-
phenylcyclopentyl)amino]propyl)-N⁵,N⁵-dipropyl-3,5-pyridinedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-
hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((4,5-dimethyl-2-furyl)methyl)amino)-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1-phenylcyclopentyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)- N^5,N^5 -dipropylpentanediamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1-phenylcyclopropyl)amino)propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2S)-tetrahydro-2-furanylmethyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-isopropenylbenzyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-propoxyethyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

20 methyl 4-(((2R,3S)-4-(3,5-difluorophenyl)-3-((3-((dipropylamino)carbonyl)-5-methylbenzoyl)amino)-2-hydroxybutyl)amino)methyl)benzoate,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-methoxyethyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((5-isoxazolylmethyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

(1R,2R)- N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)- N^2,N^2 -dipropyl-1,2-cyclopropanedicarboxamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2S)-tetrahydro-2-furanylmethyl)amino)propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

30 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-methoxybenzyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-isopropylbenzyl)amino)propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
 N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide 1-oxide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
iodobenzyl)amino]propyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-
ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-
hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-
10 yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-
yl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-
ethynyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
hydroxypropyl}-5-ethynyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-
methyl- N^3,N^3 -dipropylisophthalamide,
 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-
20 furyl)methyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
phenylethyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-
hydroxypropyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-
isoxazolyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-
yl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
30 hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
 N^1 -[(1S,2R)-3-[[[1,1'-biphenyl]-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-
hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-
ylmethyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-phenyl-1H-imidazol-5-yl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-{{(2-butyl-4-chloro-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1 -[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-pyrrol-2-yl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,
15

N^1 -[(1S,2R)-3-{{(5-chloro-2-thienyl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-2-yl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-3-{{(1-benzyl-1H-indol-3-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-3-yl)methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-3-{{(2-butyl-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(cyanomethyl)- N^3,N^3 -dipropylisophthalamide,

30 N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(hydroxymethyl)- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-ethynyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-prop-1-ynylisophthalamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

5 N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

10 N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- N^5,N^5 -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

15 N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3 -(3-hydroxypropyl)-5-methyl- N^3 -propylisophthalamide,

N^1 -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3 -dipropylisophthalamide,

25 N^1 -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3,N^5,N^5 -tetrapropylbenzene-1,3,5-tricarboxamide,

N^1 -{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-

30 [(dipropylamino)carbonyl]benzoate,

N^1 -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

5 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

Sub
Al
10 N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

15 3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

20 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N³-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N³,N³-dipropylisophthalamide, and

25 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

Sub A

13615.25US

5 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-prop-1-ynylisophthalamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,
10 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³-propylisophthalamide,
N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N⁵,N⁵-dipropylpyridine-3,5-dicarboxamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,
15 N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N⁵,N⁵-dipropylpyridine-3,5-dicarboxamide,
N³-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N⁵,N⁵-dipropylpyridine-3,5-dicarboxamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,
20 1-3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino)carbonyl]-5-methylbenzoyl)-L-prolinamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-isopropyl-5-methylisophthalamide,
25 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-ethyl-N³,5-dimethylisophthalamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,5-dimethyl-N³-prop-2-ynylisophthalamide,
N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-isobutyl-5-methylisophthalamide,
30 N¹-(sec-butyl)-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
N¹-butyl-N³-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -diethyl-5-methylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 ,5-dimethyl- N^3 -propylisophthalamide,
5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isopropyl- N^3 ,5-dimethylisophthalamide,
 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 ,5-dimethylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -isobutyl- N^3 ,5-dimethylisophthalamide,
10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl-5-methyl- N^3 -propylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3 -ethyl- N^3 -isopropyl-5-methylisophthalamide,
15 N^1,N^1 -diallyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
3-(azepan-1-ylcarbonyl)- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
20 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -diisopropyl-5-methylisophthalamide,
25 N^1 -butyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 -ethyl-5-methylisophthalamide,
 N^1 -(cyclopropylmethyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- N^1 -propylisophthalamide,
 N^1 -cyclohexyl- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^1 ,5-dimethylisophthalamide,
30 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
and

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[trifluoromethyl)sulfonyl]amino}benzamide.

182. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

10 N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylbutanoyl)-5-methylbenzamide,

N¹-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(2-propylpentanoyl)isophthalamide,

25 N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(3-pyridinyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-pyridinyl)benzyl]amino]propyl)-5-methyl-N³,N³-dipropylisophthalamide,

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-(1-propynyl)isophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-(1-propynyl)isophthalamide,~~

5 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³,N³-dipropyl-5-(2-propynyl)isophthalamide,~~

~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-5-(2-propynyl)isophthalamide,~~

10 ~~N¹-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

15 ~~N¹-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

~~N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

20 ~~N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

25 ~~N¹-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

~~N¹-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,~~

30 ~~N¹-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,~~

Sub
A1

F0690:00000000

~~N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-
N³,N³-dipropyl-1,3,5-benzenetricarboxamide,
2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl} amino)-5-
[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,
5 N¹-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-
N³,N³-dipropylisophthalamide,
N¹-((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-
methylbutyl)-5-methyl-N³,N³-dipropylisophthalamide,
N¹-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-N³,N³-
10 dipropyl-1,3,5-benzenetricarboxamide,
N¹-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-
methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-
N³,N³-dipropylisophthalamide,
15 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-
naphthylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,
N¹-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-N³,N³-
dipropyl-1,3,5-benzenetricarboxamide,
N¹-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-
20 methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,
N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-
methyl-N³,N³-dipropylisophthalamide,
N¹-((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl)-
5-methyl-N³,N³-dipropylisophthalamide,
25 N¹-((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl)-3-butynyl)-
N³,N³-dipropyl-1,3,5-benzenetricarboxamide,
5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-
methylbenzoyl} amino)-1-S-phenyl-1-thio-D-erythro-pentitol,
N¹-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-
30 methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,~~

N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

5 N¹-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

10 N¹-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

20 N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

25 N¹-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

5 N^1 -[(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

10 N^1 -[(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

15 N^1 -[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

20 N^1 -[(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

25 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

30 N^1 -[(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

- N^1 -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
5 N^1 -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,
 N^1 -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5 -dipropylpentanediamide,
25 3-[(dipropylamino)sulfonyl]- N -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,
 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5 -dipropylpentanediamide,
3-[(dipropylamino)sulfonyl]- N -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,
30

N¹-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

5 N¹-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

10 N¹-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N¹-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N⁵,N⁵-dipropylpentanediamide,
15 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-N⁵,N⁵-dipropylpentanediamide,
20 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-N⁵,N⁵-dipropylpentanediamide,
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N¹-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N³,N³-dipropylisophthalamide,

5 ~~N1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-~~
~~2-hydroxyethyl)-5-methyl- N³,N³-dipropylisophthalamide,~~

(1R,2R,3R)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N²,N²-dipropyl-1,2,3-cyclopropanetricarboxamide,

10 ~~(1R,2R,3R)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N²,N²-dipropyl-1,2-cyclopropanedicarboxamide,~~

(1R,2R,3R)-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-N²,N²-dipropyl-1,2-cyclopropanedicarboxamide,

15 (1R,2R,3S)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N²,N²-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl-N²,N²-dipropyl-1,2-

20 cyclopropanedicarboxamide,
(1R,2R,3S)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N²,N²-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N²,N²-dipropyl-1,2-

25 cyclopropanedicarboxamide,
(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N²,N²-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

10 (1S,2R,3R)-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

N¹-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³,N³-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³,N³-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

5 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

20 N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N¹-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3 -dipropylisophthalamide,
5 N^1 -[(1S,2R)-3-[[3-(diethylamino)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-morpholinyl)benzyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(1-piperazinyl)benzyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -[(1S,2R)-3-[[3-(aminosulfonyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-((3-[(dimethylamino)sulfonyl]benzyl)amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(1-piperidinylsulfonyl)benzyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(methylsulfonyl)benzyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
20 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(isopropylsulfonyl)benzyl]amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-3-[[3-(aminocarbonyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-((3-[(dimethylamino)carbonyl]benzyl)amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
25 N^1 -[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,
3-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-((3-[(dipropylamino)carbonyl]-5-methylbenzoyl)amino)-2-hydroxybutyl]amino]methyl]phenylcarbamate,
30

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

5 ~~N¹-(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

N¹-((1*S*,2*R*)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

~~N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,~~

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl}amino} propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-
thiadiazol-5-yl) methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-(((2-ethyl-1,3-oxazol-5-yl)methyl)amino)-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-oxazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((5-isobutyl-1,3,4-oxadiazol-2-yl)methyl)amino)propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

5 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-oxadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

10 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-2H-tetrazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-2H-tetrazol-5-yl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethynyl-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(6-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(6-(dimethylamino)-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N³,N³-

dipropylisophthalamide,

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

5 N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[4-isopropyl-2-pyrimidinyl]methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[4-ethyl-2-pyrimidinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[5-ethyl-3-pyridazinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -

15 dipropylisophthalamide,
 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[5-isopropyl-3-pyridazinyl]methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(1-propynyl)benzyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[6-isopropyl-4-pyridazinyl]methyl}amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-ethynylbenzyl]amino}-2-hydroxypropyl}- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

25 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[6-ethyl-4-pyridazinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^3 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-isopropylbenzyl]amino}propyl)- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^3 -{[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]- N^5,N^5 -dipropyl-3,5-pyridinedicarboxamide,

5 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

20 N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

25 N^1 -{[(1S,2R)-2-hydroxy-1-(1H-indol-5-yl)methyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

30 N^1 -[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-yl)methyl]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

5 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

10 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

25 N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
5 N^1 -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
10 N^1 -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
15 N^1 -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
 N^1 -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
20 N^1 -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,
25 N^1 -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,
 N^1 -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

5 N^1 -{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

10 N^1 -{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

15 N^1 -{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

20 N^1 -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N^1 -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

25 N^1 -{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3 -dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

N¹-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

5 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

10 N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N³,N³-dipropylisophthalamide,

N¹-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N¹-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide,

15 N¹-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³,N³-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

30 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-4-hydroxy-3-(1-

5 pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-
10 [(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-
15 2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-
20 carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
25

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-
30 carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

Sub
Al

13615.25USU4

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N⁴-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N³-methylisophthalamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N³-methylisophthalamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N³-ethyl-4-hydroxyisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

10 N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N³-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N¹-{(1*S*,2*R*)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
hydroxypropyl}-4-hydroxy-N³-isobutylisophthalamide,

2-[[cyclopropylmethyl)sulfonyl]amino}-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-1,3-oxazole-4-carboxamide,

10 ~~N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-~~ N³-isobutyl-N³-methylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

~~N³-(cyclopropylmethyl)-N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-methylisophthalamide,~~

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N³-methyl-N³-propylisophthalamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N³-methyl-N³-propylisophthalamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)-2-((phenylsulfonyl)amino)-1,3-oxazole-4-carboxamide,

N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N³-ethyl-4-hydroxy-N³-propylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)-2-(((4-methylphenyl)sulfonyl)amino)-1,3-oxazole-4-carboxamide,

N¹-(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N³-ethyl-4-hydroxy-N³-propylisophthalamide,

Sub
A1

[illegible]

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[[[4-methylphenyl)sulfonyl]amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N³, N³-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N³, N³-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-hydroxy-N³, N³-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

20 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(ethylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

25 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³, N³-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(isopropylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(isobutylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

30 N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N³, N³-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N¹-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(2-furylsulfonyl)amino]-N³, N³-dipropylisophthalamide,

Sub
A1

T03290-629360

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

5 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

10 N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[[1-methyl-1H-imidazol-4-yl)sulfonyl]amino}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

15 5-[(5-cyanopyridin-2-yl)sulfonyl]amino}- N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropylisophthalamide,

N^1 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3 -dipropyl-5-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)isophthalamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[[1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)benzamide,

25 3-([5-(5-cyanopyridin-2-yl)sulfonyl]amino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isobutylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isopropylsulfonyl)amino]benzamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[1-(1-ethylpropyl)sulfonyl]amino]benzamide,

3-[(cyclohexylsulfonyl)amino]-N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)benzamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[1-(1-propylbutyl)sulfonyl]amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(2-furylsulfonyl)amino]benzamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(3-furylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

30 N¹-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(isopentylamino)propyl]]-N³,N³-dipropyl-5-[[1-(trifluoromethyl)sulfonyl]amino]isophthalamide,

N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N³,N³-dipropyl-5-[[1-(trifluoromethyl)sulfonyl]amino]isophthalamide,

N¹-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N³,N³-dipropylisophthalamide,

Sub
A1

T06290:06290

N^1 -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]- N^3,N^3 -dipropylisophthalamide,

N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

5 N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo- N^1 -(tert-butyl)- N^3 -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

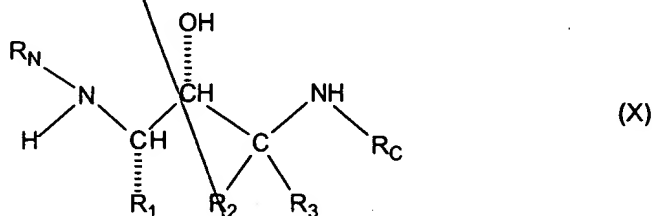
3-tert-butoxy- N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[[trifluoromethyl)sulfonyl]amino}benzamide,

15 N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

20 183. A pharmaceutical composition which comprises a substituted amine of formula (X)



where R_1 is:

25 (I) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, C_1 - C_7 alkyl (optionally substituted with C_1 - C_3 alkyl and C_1 - C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_3 alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (II) -CH₂-S(O)₀₋₂-(C_1 - C_6 alkyl),

(III) $-\text{CH}_2-\text{CH}_2-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{aryl}})$ where n_1 is zero or one and where $\text{R}_{1-\text{aryl}}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_3 alkoxy, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) $-\text{C}_1-\text{C}_6$ alkoxy optionally substituted with one, two, or three of: -F,

(G) $-\text{NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) $-\text{C}\equiv\text{N}$,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-\text{C}\equiv\text{N}$,

-CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(K) -CO-(C₁-C₄ alkyl),

(L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where

R_{1-heteroaryl} is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

5c6
A1

T 06290 " 06290 " 06290 "

5

10

15

20

25

30

thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoquinazyl,
benzisoquinazyl,
benzoxazinyl,
dihydrobenzothiazinyl,

benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,

benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 5 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring
 10 atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to
 the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is
 optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three
 substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,
 15 -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
 above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are
 20 -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of
 -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are
 -H or C_1-C_6 alkyl,

25 (4) -F, Cl, -Br or -I,

(6) $-C_1-C_6$ alkoxy optionally substituted with one, two, or three
 of: -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

30 (9) $-C\equiv N$,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$,
 $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

5 R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

10 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

15 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

20 homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

oxazolidinonyl,

25 dihydropyrazolyl

dihydropyrrolyl

dihydropyrazinyl

dihydropyridinyl

dihydropyrimidinyl

30 dihydrofuryl

dihydropyranyl

tetrahydrothienyl S-oxide

tetrahydrothienyl S,S-dioxide

homothiomorpholinyl S-oxide

Sub
A'

TOP SECRET

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

- 5 (1) C_1 - C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,
- 10 (2) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,
- (3) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group
- 15 consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,
- (4) -F, Cl, -Br or -I,
- (5) C_1 - C_6 alkoxy,
- (6) C_1 - C_6 alkoxy optionally substituted with one, two,
- 20 or three of -F,
- (7) -NR $_{N-2}$ R $_{N-3}$ where R $_{N-2}$ and R $_{N-3}$ are as defined below,
- (8) -OH,
- (9) -C \equiv N,
- 25 (10) C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are -H or C_1 - C_6 alkyl,
- (11) -CO-(C_1 - C_4 alkyl),
- (12) -SO $_2$ -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined
- 30 above,
- (13) -CO-NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above,
- (14) -SO $_2$ -(C_1 - C_4 alkyl), or

(15) =O, with the proviso that when n_1 is zero

$R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I)-H,

5 (II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

10 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and R_{1-heteroaryl} are as defined above;

(IV) C_2 - C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl,

15 (V) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl, or

20 (VI) -(CH₂)₀₋₄- C_3 - C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl;

where R_3 is:

(I)-H,

25 (II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and R_{1-heteroaryl} are as defined above;

(IV) C_2 - C_6 alkenyl with one or two double bonds,

(V) C_2 - C_6 alkynyl with one or two triple bonds, or

(VI) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{N-2}-$, where R_{N-2} is as defined below;

where R_N is:

(I) $R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

(A) $-CO-$,

(B) $-SO_2-$,

(C) $-(CR'R'')_{1-6}$ where R' and R'' are the same or different and are -H or C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ where X_{N-1} is selected from the group consisting of -O-, -S- and $-NR'$ - and where R' and R'' are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) $-CO-OH$,

(6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) $-OH$, and

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one to three $-F$, $-Cl$, $-Br$, or $-I$,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) $-C_2-C_6$ alkenyl with one or two double bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$,

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$,

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined

above,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as

defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as

defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N4}$ where R_{N4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

- (a) C_1-C_6 alkyl,
- (b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,
- (c) C_2-C_6 alkenyl containing one or two double bonds,
- (d) C_2-C_6 alkynyl containing one or two triple bonds,
- (e) C_3-C_7 cycloalkyl, and
- (f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is $-H$ or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,

(32) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$ where $\text{R}_{\text{N-5}}$ is as

5 defined above,

(33) $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,

(34) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted})$

with one, two, three, four, or five -F),

(35) C₃-C₇ cycloalkyl,

10

(36) C₂-C₆ alkenyl with one or two double bonds

optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(37) C₂-C₆ alkynyl with one or two triple bonds

optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

15

(38) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H} \text{ or } \text{R}_{\text{N-5}})-\text{SO}_2-\text{R}_{\text{N-2}}$ where $\text{R}_{\text{N-5}}$ and

R_{N-2} can be the same or different and are as described above, or

(39) $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$ cycloalkyl,

(B) $-R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is selected from the group

20 consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

25

indolyl,

indoliny],

pryidazynyl,

pyrazinyl,

isoindolyl,

30

isoquinolyl,

quinazolinyl,

quinoxalinyI,

phthalazinyl,

imidazolyl,

Sub
Al

[illegible]

[illegible]

30

isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyll,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothieryl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,

Sub
Al

[illegible]

5

10

15

20

25

30

purinyl,
 benzodioxolyl,
 triazinyl,
 phenoxazinyl,
 phenothiazinyl,
 pteridinyl,
 benzothiazolyl,
 imidazopyridinyl,
 imidazothiazolyl,
 dihydrobenzisoaxazinyl,
 benzisoxazinyl,
 benzoxazinyl,
 dihydrobenzisothiazinyl,
 benzopyranlyl,
 benzothiopyranlyl,
 coumarinyl,
 isocoumarinyl,
 chromonyl,
 chromanonyl, and
 pyridinyl-N-oxide,
 tetrahydroquinolinyl
 dihydroquinolinyl
 dihydroquinolinonyl
 dihydroisoquinolinonyl
 dihydrocoumarinyl
 dihydroisocoumarinyl
 isoindolinonyl
 benzodioxanyl
 benzoxazolinonyl
 pyrrolyl N-oxide,
 pyrimidinyl N-oxide,
 pyridazinyl N-oxide,
 pyrazinyl N-oxide,
 quinolinyl N-oxide,

5

10

15

20

25

30

indolyl N-oxide,
 indoliny N-oxide,
 isoquinolyl N-oxide,
 quinazoliny N-oxide,
 quinoxaliny N-oxide,
 phthalaziny N-oxide,
 imidazolyl N-oxide,
 isoxazolyl N-oxide,
 oxazolyl N-oxide,
 thiazolyl N-oxide,
 indoliziny N-oxide,
 indazolyl N-oxide,
 benzothiazolyl N-oxide,
 benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide,
 benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of
 the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$
 group replaces the hydrogen atom and its bond, where heteroaryl is optionally
 substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or
 three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -
 OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
 above,

- (2) -OH,
 (3) $-NO_2$,
 (4) -F, -Cl, -Br, or -I
 (5) $-CO-OH$,
 (6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$,

(f) $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$,

(g) $-C_2-C_6$ alkenyl with one or two double

bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and

one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined

above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined

above,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as

defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as

defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

Sub
A1

13615.25US

homomorpholiny, homothiomorpholiny, homomorpholiny S-oxide,
homothiomorpholiny S,S-dioxide, pyrroliny and pyrrolidiny where each group is
optionally substituted with one, two, three, or four of: C₁-C₆ alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from

5 the group consisting of:

(a) C₁-C₆ alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined

above,

(c) C₂-C₆ alkenyl containing one or two double

10 bonds,

(d) C₂-C₆ alkynyl containing one or two triple

bonds,

(e) C₃-C₇ cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where R_{1-heteroaryl} is as

15 defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are

as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

20

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can

be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5}

can be the same or different and is as defined above,

25

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the

same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and

R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the

30 same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where R_{N-aryl-1} is -H

or C₁-C₄ alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined

above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined

above,

5

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as

defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted}$

10

with one, two, three, four, or five of: $-F$),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds

optionally substituted with C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

15

(37) C_2-C_6 alkynyl with one or two triple bonds

optionally substituted with C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and

R_{N-2} can be the same or different and are as described above, or

20

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$, where R_{N-aryl} is defined as above,

(D) $R_{N-aryl}-W-R_{N-heteroaryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as

defined above,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ is defined as

25

$R_{1-heterocycle}$, is defined above,

(F) $R_{N-heteroaryl}-W-R_{N-aryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as

defined above,

(G) $R_{N-heteroaryl}-W-R_{N-heteroaryl}$, where $R_{N-heteroaryl}$ is as defined

above,

30

(H) $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$, where $R_{N-1-heterocycle}$ is as defined as $R_{1-heterocycle}$ is as defined above, and where $R_{N-heteroaryl}$ is as defined above,

(I) $R_{N-heterocycle}-W-R_{N-aryl}$, where $R_{N-heterocycle}$ is as defined as $R_{1-heterocycle}$ is defined and where R_{N-aryl} are as defined above,

(J) $R_{N\text{-heterocycle}}\text{-W-R}_{N\text{-heteroaryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{N\text{-heterocycle}}$ as defined above and $R_{N\text{-heteroaryl}}$ are as defined above, and

(K) $R_{N\text{-heterocycle}}\text{-W-R}_{N\text{-I-heterocycle}}$, where $R_{N\text{-heterocycle}}$ and $R_{N\text{-heteroaryl}}$ are as defined above,

5

where W is

(21) $\text{-(CH}_2\text{)}_{0-4}\text{-}$,(22) -O- ,(23) $\text{-S(O)}_{0-2}\text{-}$,(24) $\text{-N(R}_{N-5}\text{)-}$ where R_{N-5} is as defined above,

10

or

(25) -CO-_1

(II) $\text{-CO-(C}_1\text{-C}_{10}\text{ alkyl)}$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

15

(A) -OH ,(B) $\text{-C}_1\text{-C}_6\text{ alkoxy}$,(C) $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$,(D) -CO-O-R_{N-8} where R_{N-8} is -H , $\text{C}_1\text{-C}_6\text{ alkyl}$ or -phenyl ,

(E) $\text{-CO-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

20

(F) -CO-R_{N-4} where R_{N-4} is as defined above,(G) $\text{-SO}_2\text{-(C}_1\text{-C}_8\text{ alkyl)}$,

(H) $\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

25

(I) $\text{-NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$,(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) $\text{-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

30

(L) -R_{N-4} where R_{N-4} is as defined above,(M) $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$,

(N) $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$,

(P) $\text{-O-(C}_1\text{-C}_6\text{ alkyl)}$ optionally substituted with one, two, or three of: -F , -Cl , -Br , or -I ,

(Q) $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$, and

(R) -F , or -Cl

(III) $\text{-CO-(C}_1\text{-C}_6\text{ alkyl)-O-(C}_1\text{-C}_6\text{ alkyl)}$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

5

(A) -OH ,

(B) $\text{-C}_1\text{-C}_6\text{ alkoxy}$,

(C) $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$,

(D) -CO-O-R_{N-8} where R_{N-8} is -H , $\text{C}_1\text{-C}_6\text{ alkyl}$ or ϕ ,

10

(E) $\text{-CO-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) $\text{-SO}_2\text{-(C}_1\text{-C}_8\text{ alkyl)}$,

(H) $\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

15

(I) $\text{-NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$,

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) $\text{-NR}_{N-2}\text{R}_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

20

(M) $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$,

(N) $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$ where the R_{N-8} s are the same or different and are as defined above,

(O) $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$,

25

(P) $\text{-O-(C}_1\text{-C}_6\text{ alkyl)}$ optionally substituted with one, two, or three of: -F , -Cl , -Br , or -I ,

(Q) $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$,

(R) -F , -Cl ,

(IV) $\text{-CO-(C}_1\text{-C}_6\text{ alkyl)-S-(C}_1\text{-C}_6\text{ alkyl)}$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

30

(A) -OH ,

(B) $\text{-C}_1\text{-C}_6\text{ alkoxy}$,

(C) $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

Sub
AI

T06290-043360

(E) $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$,

5 (H) $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,

10 (K) $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(N) $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ are the same or different and are as defined above,

15 (O) $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$,

(P) $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ optionally substituted with one, two, or three of: $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$,

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$,

(R) $-\text{F}$, or $-\text{Cl}$,

20 (V) $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-aryl}}$ and $\text{R}_{\text{N-heteroaryl}}$ are as defined above, where $\text{R}_{\text{N}-10}$ is selected from the group consisting of:

(A) $-\text{H}$,

(B) $\text{C}_1-\text{C}_6 \text{ alkyl}$,

25 (C) $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$,

(D) $\text{C}_2-\text{C}_6 \text{ alkenyl}$ with one double bond,

(E) $\text{C}_2-\text{C}_6 \text{ alkynyl}$ with one triple bond,

(F) $\text{R}_{1\text{-aryl}}$ where $\text{R}_{1\text{-aryl}}$ is as defined above, and

(G) $\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-heteroaryl}}$ is as defined above, or

30 (VI) $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$ where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A) $-(\text{CH}_2)_{0-4}-\text{OH}$,

(B) $-(CH_2)_{0-4}-C_1-C_6$ alkoxy,

(C) $-(CH_2)_{0-4}-C_1-C_6$ thioalkoxy,

(D) $-(CH_2)_{0-4}-CO-O-R_{N-8}$ where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

5 (E) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is as defined above,

(G) $-(CH_2)_{0-4}-SO_2-(C_1-C_8 \text{ alkyl})$,

10 (H) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) $-(CH_2)_{0-4}-NH-CO-(C_1-C_6 \text{ alkyl})$,

(J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

15 (L) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(M) $-O-CO-(C_1-C_6 \text{ alkyl})$,

(N) $-O-CO-NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) $-O-(C_1-C_5 \text{ alkyl})-COOH$,

20 (P) $-O-(C_1-C_6 \text{ alkyl})$ optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) $-NH-SO_2-(C_1-C_6 \text{ alkyl})$, and

(R) -F, or -Cl,

where R_C is:

25 (I) $-C_1-C_{10}$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-OC(=O)NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-S(=O)_{0-2}R_{1-a}$ where R_{1-a} is as defined above, $-NR_{1-a}C(=O)NR_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-C(=O)NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and $-S(=O)_2NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

30

(II) $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, $-CO-O-(C_1-C_4)$ alkyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

5 (III) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are
 -H,
 C_1-C_4 alkyl optionally substituted with one or two -OH,,
 C_1-C_4 alkoxy optionally substituted with one, two, or three of:
 -F,
 10 $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,
 C_2-C_6 alkenyl containing one or two double bonds,
 C_2-C_6 alkynyl containing one or two triple bonds,
 phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are
 15 attached to form a carbocycle of three, four, five, six, or seven carbon atoms,
 optionally where one carbon atom is replaced by a heteroatom selected from the
 group consisting of -O-, -S-, $-SO_2$ -, and $-NR_{N-2}$ - and R_{C-aryl} is the same as R_{N-aryl} ;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is the same as R_{N-}
 heteroaryl and R_{C-x} and R_{C-y} are as defined above,

20 (V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-aryl} , R_{C-x} and R_{C-y} are as
 defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and
 R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where $R_{C-heteroaryl}$, R_{C-aryl} , R_{C-x}
 25 and R_{C-y} are as defined above,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and
 R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-aryl} , R_{C-x} and R_{C-y} are
 as defined above, and $R_{C-heterocycle}$ is the same as $R_{N-heterocycle}$,

30 (X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$,
 R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl} , R_{C-x}
 and R_{C-y} are as defined above,

(XII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-heteroaryl}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XIII) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

5 (XIV) $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$, $\text{R}_{\text{C-x}}$ and $\text{R}_{\text{C-y}}$ are as defined above,

(XV) $-[\text{C}(\text{R}_{\text{C-1}})(\text{R}_{\text{C-2}})]_{1-3}-\text{CO}-\text{N}(\text{R}_{\text{C-3}})_2$ where $\text{R}_{\text{C-1}}$ and $\text{R}_{\text{C-2}}$ are the same or different and are selected from the group consisting of:

(A) -H,

10 (B) $\text{C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally
15 substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) $\text{C}_2\text{-C}_6$ alkynyl with one or two triple bonds, optionally
20 substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$,

(F) $-(\text{CH}_2)_{0-4}-\text{C}_3\text{-C}_7$ cycloalkyl, optionally substituted with one,
25 two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O- phenyl, $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{C'-aryl}}$ where $\text{R}_{\text{C'-aryl}}$ is as defined for $\text{R}_{1\text{-aryl}}$,

(H) $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heteroaryl}}$ is as defined
above,

30 (I) $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$ is as defined above,

(J) $-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heteroaryl}}$ is as defined above,

(K) $-\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-heterocycle}}$ is as defined above,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$ where R_{C-4} is -O-, -S- or -NR_{C-5}- where R_{C-5} is C₁-C₆ alkyl, and where $R_{C'-aryl}$ is as defined above,

(N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$ where R_{C-4} and $R_{C-heteroaryl}$ are as defined above, and

5 (O) $-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above, and where R_{C-3} is the same or different and is:

(A) -H,

(B) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, 10 -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(C) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and 15 -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(D) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, 20 (E) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O- phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(F) $-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above,

25 (G) $-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(H) $-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(I) $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above,

(J) $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above, or

30 (K) $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(XVI) $-CH(R_{C-aryl})_2$ where R_{C-aryl} are the same or different and are as defined above,

(XVII) $-\text{CH}(\text{R}_{\text{C-heteroaryl}})_2$ where $\text{R}_{\text{C-heteroaryl}}$ are the same or different and are as defined above,

(XVIII) $-\text{CH}(\text{R}_{\text{C-aryl}})(\text{R}_{\text{C-heteroaryl}})$ where $\text{R}_{\text{C-aryl}}$ and $\text{R}_{\text{C-heteroaryl}}$ are as defined above,

5 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $\text{R}_{\text{C-aryl}}$ or $\text{R}_{\text{C-heteroaryl}}$ or $\text{R}_{\text{C-heterocycle}}$ where $\text{R}_{\text{C-aryl}}$ or $\text{R}_{\text{C-heteroaryl}}$ or $\text{R}_{\text{C-heterocycle}}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $\text{NR}_{\text{N-5}}$, O, or $\text{S}(=\text{O})_{0-2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two $-\text{C}_1-\text{C}_3$ alkyl, -F, -OH, -SH, 10 $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, $=\text{O}$, or $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

15 (XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, C_1-C_6 alkoxy, -O- phenyl, and $-\text{NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-aryl}}$ where $\text{R}_{\text{C-aryl}}$ is as defined 20 above and $\text{R}_{\text{C-6}}$ is $-(\text{CH}_2)_{0-6}-\text{OH}$,

(XXII) $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-heteroaryl}}$ where $\text{R}_{\text{C-heteroaryl}}$ and $\text{R}_{\text{C-6}}$ is as defined above,

(XXIII) $-\text{CH}(-\text{R}_{\text{C-aryl}} \text{ or } \text{R}_{\text{C-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$ where $\text{R}_{\text{C-aryl}}$ and $\text{R}_{\text{C-heteroaryl}}$ are as defined above,

25 (XXIV) $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl}-\text{NO}_2$,

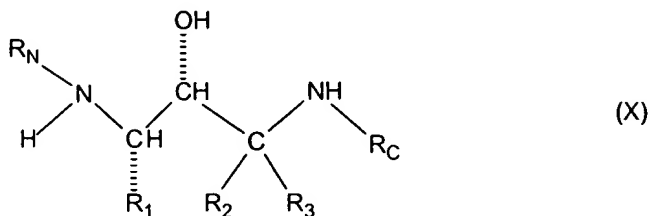
(XXV) $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$,

(XXVII) $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$,

(XXVIII) -H, or

(XXIX) $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$ where R_{1-a} and R_{1-b} are as defined above; 30 or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable inert carriers.

184. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



5 where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

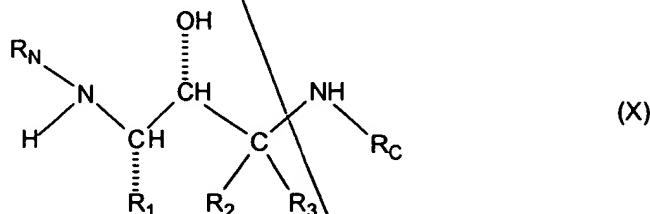
185. The method of claim 184, wherein said beta-secretase is exposed to said
10 compound *in vitro*.

186. The method of claim 184, wherein said beta-secretase is exposed to said
compound in a cell.

15 187. The method of claim 186, wherein said cell is in an animal.

188. The method of claim 187, wherein said animal is a human.

189. A method for inhibiting cleavage of amyloid precursor protein (APP), in a
20 reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695
amino acid isotype; or at a corresponding site of an isotype or mutant thereof,
comprising exposing said reaction mixture to an effective inhibitory amount of a
compound of formula (X)



25 where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

190. The method of claim 189, wherein said cleavage site is between Met652 and
 5 Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,
 numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695
 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation;
 or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

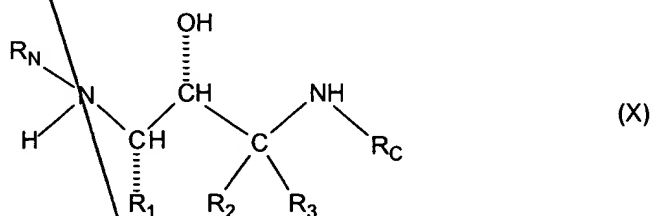
- 10 191. The method of claim 189, wherein said reaction mixture is exposed *in vitro*.

192. The method of claim 189, wherein said reaction mixture is exposed in a cell.

193. The method of claim 192, wherein said cell is an animal cell.

- 15 194. The method of claim 193, wherein said cell is a human cell.

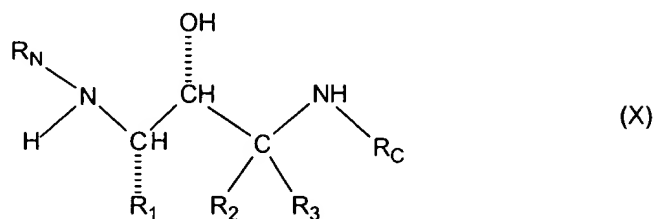
195. A method for inhibiting production of amyloid beta peptide (A beta) in a cell,
 comprising administering to said cell an effective inhibitory amount of a compound of
 20 formula (X)



where R₁, R₂, R₃, R_N and R_C are as defined in claim 1,
 or a pharmaceutically acceptable salt thereof.

- 25 196. The method of claim 195, wherein said administering is to an animal.
197. The method of claim 196, wherein said administering is to a human.

198. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of formula (X)

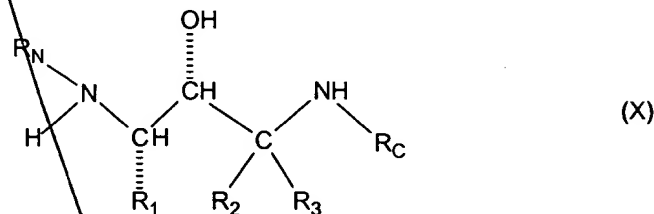


5

where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

10 199. The method of claim 198, wherein said animal is a human.

200. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a compound of formula (X)



15

where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

20

201. The method of claim 200, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

25 202. The method of claim 200, wherein said therapeutic amount is in the range of from about 15 to about 1500 mg/day.

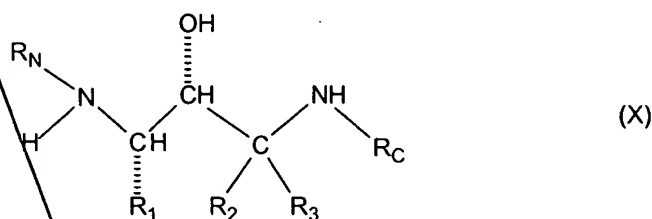
203. The method of claim 202, wherein said thereapeutic amount is in the range of from about 1 to about 100 mg/day.

5 204. The method of claim 203, wherein said thereapeutic amount is in the range of from about 5 to about 50 mg/day.

205. The method of claim 200, wherein said disease is Alzheimer's disease.

10 206. The method of claim 200, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

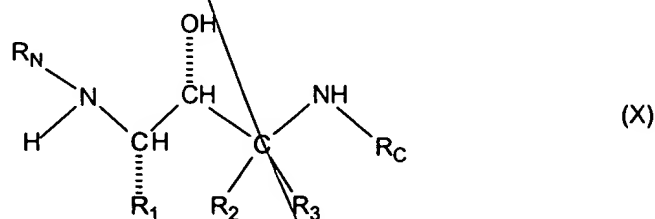
15 207. A composition comprising beta-secretase complexed with a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

20

208. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)



25

where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

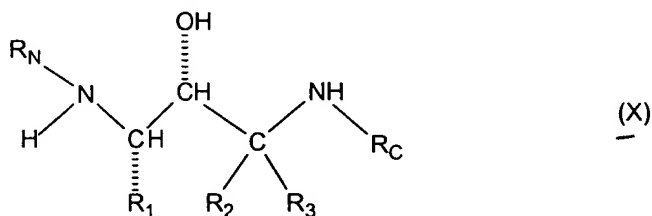
Sub AI

or a pharmaceutically acceptable salt thereof.

209. The method of claim 208, where said exposing is *in vitro*.

5 210. The method of claim 208, wherein said reaction mixture is a cell.

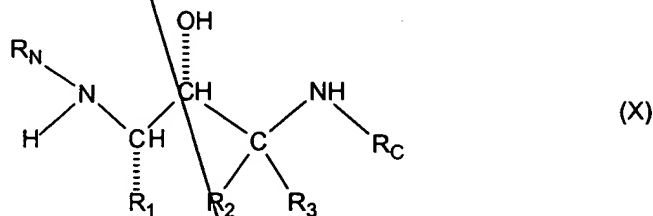
211. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)



10 where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

15 212. The kit of claim 211, wherein said compound is lyophilized and at least one further component part comprises a diluent.

213. A kit comprising a plurality of containers, each container comprising one or more unit dose of a compound of formula (X)



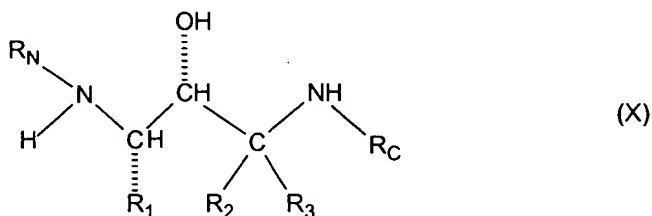
20 where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

25 214. The kit of claim 213, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.

215. The kit of claim 214, wherein each container is adapted for parenteral delivery and comprises a depot product, syringe, ampoule, or vial.

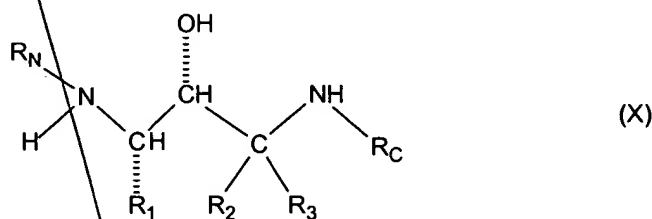
216. The kit of claim 214, wherein each container is adapted for topical delivery and comprises a patch, medipad, ointment, or cream.

217. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody; and a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

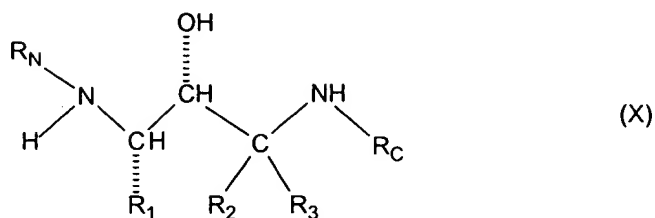
218. A composition comprising an inert diluent or edible carrier; and a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

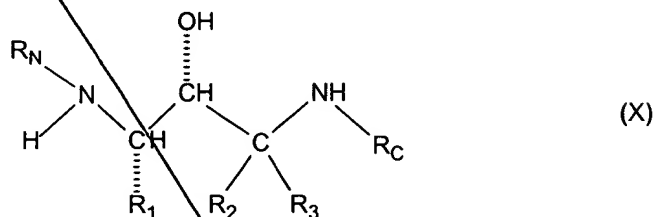
219. The composition of claim 218, wherein said carrier is an oil.

220. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and
a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

221. A composition comprising a compound of formula (X)



15

where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof,
and where the compound is disposed in a cream, ointment, or patch.